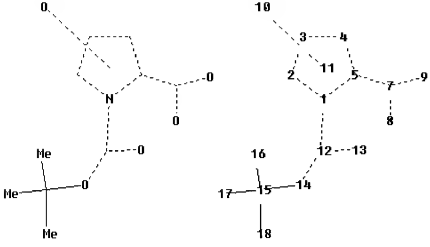
=>
Uploading C:\Program Files\Stnexp\Queries\10591340-broad.str

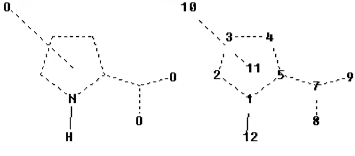


chain nodes :
7 8 9 10 12 13 14 15 16 17 18
ring nodes :
1 2 3 4 5
chain bonds :
1-12 5-7 7-8 7-9 12-13 12-14 14-15 15-16 15-17 15-18
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-12 2-3 3-4 4-5 5-7 7-8 7-9 12-13 12-14 14-15 15-16 15-17
15-18
isolated ring systems :
containing 1 :

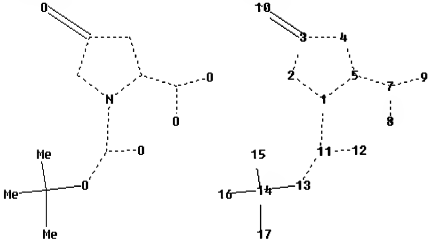
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L2 STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\10591340-narrow.str

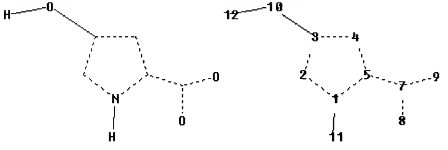


```
chain nodes :
7  8  9  10  12
ring nodes :
1  2  3  4  5
chain bonds :
1-12  5-7  7-8  7-9
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
1-2  1-5  1-12  2-3  3-4  4-5  5-7  7-8  7-9
isolated ring systems :
containing 1 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
11:CLASS
12:CLASS



L5      STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\10591340-broad-2.str
```



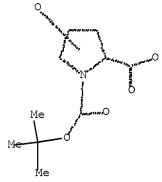

```
chain nodes :
7  8  9  10  11  12  13  14  15  16  17
ring nodes :
1  2  3  4  5
chain bonds :
1-11  3-10  5-7  7-8  7-9  11-12  11-13  13-14  14-15  14-16  14-17
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
1-2  1-5  1-11  2-3  3-4  3-10  4-5  5-7  7-8  7-9  11-12  11-13  13-14  14-15  14-
16
14-17
isolated ring systems :
containing 1 :
```

```
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
11:CLASS
12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS



L17     STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\10591340-narrow-2.str
```



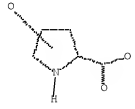

```
chain nodes :
7  8  9  10  11  12
ring nodes :
1  2  3  4  5
chain bonds :
1-11  3-10  5-7  7-8  7-9  10-12
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
1-2  1-5  1-11  2-3  3-4  3-10  4-5  5-7  7-8  7-9  10-12
isolated ring systems :
containing 1 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
11:CLASS
12:CLASS



L20     STRUCTURE UPLOADED


=> d his

     (FILE 'HOME' ENTERED AT 08:06:28 ON 14 APR 2008)

     FILE 'REGISTRY' ENTERED AT 08:15:11 ON 14 APR 2008
L1              SCREEN 1839
L2              STRUCTURE UPLOADED
L4          796 S L2 NOT L1 SSS FULL
L5              STRUCTURE UPLOADED

L8         1854 S L5 NOT L1 SSS FULL
```

```
     FILE 'CAPLUS' ENTERED AT 08:40:09 ON 14 APR 2008
L9          1237 S L4
L10        15995 S L8
L11          549 S L9 AND L10

     FILE 'REGISTRY' ENTERED AT 08:44:47 ON 14 APR 2008
L17             STRUCTURE UPLOADED
L19           42 S L17 SSS FULL SUB=L4
L20             STRUCTURE UPLOADED
L22          345 S L20 SSS FULL SUB=L8


     FILE 'CAPLUS' ENTERED AT 08:46:57 ON 14 APR 2008
L26          121 S L19
L27        10777 S L22
L28           51 S L26 AND L27
L29            2 S US200!-591340/APPS
L30            1 S L28 AND L29
L31           50 S L28 NOT L29
L32           50 S L31 AND SPN/RL

     FILE 'REGISTRY' ENTERED AT 08:48:12 ON 14 APR 2008

=> d 12
L2 HAS NO ANSWERS
L2              STR
```



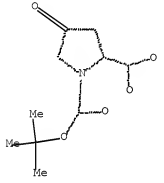
Structure attributes must be viewed using STN Express query preparation.

```
=> d 15
L5 HAS NO ANSWERS
L5              STR
```



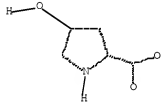
Structure attributes must be viewed using STN Express query preparation.

=> d l17
L17 HAS NO ANSWERS
L17 STR



Structure attributes must be viewed using STN Express query preparation.

=> d l20
L20 HAS NO ANSWERS
L20 STR



Structure attributes must be viewed using STN Express query preparation.

=> d l30 bib abs

L30 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1044651 CAPLUS Full-text
DN 143:326630
TI Preparation of N-protected 4-ketoproline derivates via ruthenium-catalyzed oxidation of hydroxyproline
IN Rossen, Kai; Hoffmann, Rolf; Sarich, Martin
PA Degussa Ag, Germany
SO Ger. Offen., 7 pp.
CODEN: GWXXBX

DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 102004010943	A1	20050929	DE 2004-102004010943	20040303
	CA 2557017	A1	20051013	CA 2005-2557017	20050219
	WO 2005095340	A1	20051013	WO 2005-EP1750	20050219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	EP 1720832	A1	20061115	EP 2005-707534	20050219
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 1926102	A	20070307	CN 2005-80006692	20050219
	JP 2007526265	T	20070913	JP 2007-501160	20050219
	US 20070185336	A1	20070809	US 2006-591340	20060831 <--
PRAI	DE 2004-102004010943	A	20040303		
	WO 2005-EP1750	W	20050219		

OS CASREACT 143:326630; MARPAT 143:326630
GI

I

AB The present invention concerns a procedure for the production of compds. (I; R = acid, ester, or amide function; R1 = carbonyl-containing N-protecting group) via ruthenium-catalyzed oxidation of the corresponding 4-hydroxyproline. These compds. can be used as starting materials for further production of bioactive active substances. Thus, L-hydroxyproline was first N-protected using Boc2O, followed by oxidation using RuO2.H2O and NaIO4 in a single-phase aqueous system to give, after work-up, L-I [R = CO2H; R1 = (H3C)3COC(O)].

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

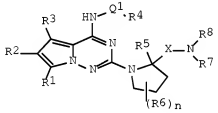
=> d l31 tot bib abs hitstr

L31 ANSWER 1 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:44722 CAPLUS Full-text
DN 148:144801
TI Pyrrolotriazines as kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of cancer
IN Mastalerz, Harold; Wittman, Mark D.; Zimmermann, Kurt; Saulnier, Mark G.; Velaparthi, Upender; Vyas, Dolatrai M.; Zhang, Guifen; Johnson, Walter Lewis; Frennesson, David B.; Sang, Xiaopeng; Liu, Peiying; Langley, David R.

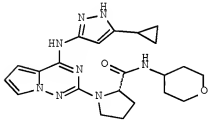
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 246pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008005956	A2	20080110	WO 2007-US72697	20070703
	WO 2008005956	A3	20080306		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
	US 20080009497	A1	20080110	US 2007-773466	20070705
PRAI	US 2006-819171P	P	20060707		

OS MARPAT 148:144801
GI



I



II

AB The invention provides compds. of formula I and pharmaceutically acceptable salts thereof. The formula I compds. inhibit tyrosine kinase activity thereby making them useful as anticancer agents and for the treatment of Alzheimer's Disease. Compds. of formula I wherein Q is (un)substituted (hetero)aryl; X is CO, CS, C=NH and derivs. and CH2; R1, R2 and R3 are independently H, (un)substituted alkyl, (un)substituted cycloalkyl, OH, etc.; R4 is H, (un)substituted alkyl, OH, alkoxy, halo, etc.; R5 is H, halo, CN and (un)substituted alkyl; R6 is H, (un)substituted alkyl, (un)substituted

alkylidene, OH, alkoxy, halo, etc.; n is 0, 1, 2, 3, 4, 5, and 6; R7 and R8 are independently H, (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted (hetero)aryl, etc.; and their pharmaceutically acceptable salts, tautomers, and stereoisomers thereof, are claimed. Example compound II was prepared by cyclization of 1-aminopyrrole-2-carboxamide with Et chloroformate; the resulting pyrrolo[2,1-f][1,2,4]triazine-2,4-(1H,3H)- dione underwent chlorination to give 2,4-dichloropyrrolo[1,2- f][1,2,4]triazine, which underwent amination with 5-cyclopropylpyrazol-3- amine to give the corresponding 4-amino-2-chloropyrrolo[1,2- f][1,2,4]triazine which underwent amination with (S)-proline to give the corresponding N-substituted pyrrolidine-2-carboxylic acid which underwent amidation with tetrahydro-2H-pyran-4-amine to give compound II. All the invention compds. were evaluated for their kinase inhibitory activity (some data given).

IT 1001354-59-1P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prophetic intermediate; preparation of pyrrolotriazine compds. as kinase inhibitors useful in treatment and prevention of cancer, proliferative and other diseases)

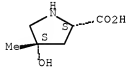
RN 1001354-59-1 CAPLUS

CN L-Proline, 4-hydroxy-4-methyl-, (4S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 459457-01-3
CMF C6 H11 N O3

Absolute stereochemistry. Rotation (-).



CM 2

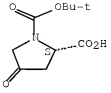
CRN 76-05-1
CMF C2 H F3 O2

IT 84348-37-8

RL: PRPH (Prophetic); RCT (Reactant); RACT (Reactant or reagent)
(prophetic starting material; preparation of pyrrolotriazine compds. as kinase inhibitors useful in treatment and prevention of cancer, proliferative and other diseases)

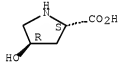
RN 84348-37-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



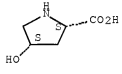
IT 51-35-4 618-27-9 102195-80-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of pyrrolotriazine compds. as kinase inhibitors useful in treatment and prevention of cancer, proliferative and other diseases)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



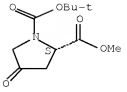
RN 618-27-9 CAPLUS
CN L-Proline, 4-hydroxy-, (4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

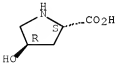


L31 ANSWER 2 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1483987 CAPLUS Full-text
DN 148:168965
TI Improved method for preparing 4,4-difluoro-L-proline from trans-4-hydroxy-L-proline
IN Wu, Fanhong; Zhang, Lisi; Yang, Xianjin; Ying, Qi; Chen, Yang
PA East China University of Science and Technology, Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 9pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 101092383	A	20071226	CN 2007-10043884	20070717
PRAI CN 2007-10043884		20070717		

OS CASREACT 148:168965
AB The method comprises esterifying trans-4-hydroxy-L-proline in the presence of catalyst and protecting H on N site to obtain the protected compound, oxidizing and further reacting with HS(CH2)nSH (n = 2-8) to obtain the thio ketal, fluorinating with electrophilic oxidant and HF-amine complex in non-protonic solvent such as benzene, toluene, THF or DMSO, hydrolyzing, and deprotecting to obtain 4,4-difluoro-L-proline. The catalyst for esterification is SOCl2, HCl, H2SO4, PCl3, PCl5, or POCl3. The oxidant is pyridinium chlorochromate, or pyridinium dichromate. The electrophilic oxidant is NBS, NIS, DBH, Br2, SOCl2, F2IF5, BrF3, p-MeC6H4IF2 or NOBF4. The HF-amine complex is Et3N·3HF, Bu4+·(H2F3)-, Me2O·2HF, or HF-pyridine.
IT 51-35-4, trans-4-Hydroxy-L-proline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4,4-difluoro-L-proline from trans-4-hydroxy-L-proline)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

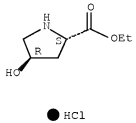
Absolute stereochemistry.



IT 33996-30-4P 204767-14-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4,4-difluoro-L-proline from trans-4-hydroxy-L-proline)

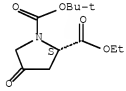
RN 33996-30-4 CAPLUS
CN L-Proline, 4-hydroxy-, ethyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 204767-14-6 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-ethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 3 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:838241 CAPLUS Full-text
DN 147:234915
TI Cytotoxic agents comprising new tomaymycin derivatives and their therapeutic use
IN Gauzy, Laurence; Zhao, Robert; Deng, Yonghong; Li, Wei; Bouchard, Herve; Chari, Ravi V. J.; Commercon, Alain
PA Sanofi-Aventis, Fr.
SO PCT Int. Appl., 173pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007085930	A1	20070802	WO 2007-IB142	20070122

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

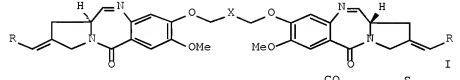
EP 1813614 A1 20070801 EP 2006-290154 20060125

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

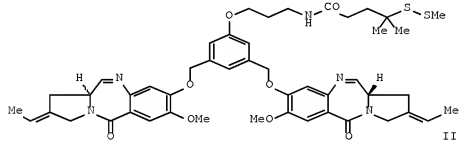
PRAI EP 2006-290154 A 20060125

OS MARPAT 147:234915

GI



I



II

AB Tomaymycin derivs., such as I [R = H, Me; X = alkylene, phenylene, heteroarylene, such as pyridin-2,6-diyl, with or without a heteroalkylene linking group suitable for binding with an antibody], were prepared for therapeutic use as cytotoxic anticancer agents. Thus, tomaymycin derivative II was prepared via a multistep synthetic sequence starting from per-tomaymycin, N-methyl-N-tert-butoxycarbonylpropargylamine, 3,5-bis(methoxycarbonyl)phenyl trifluoromethanesulfonate, and 4-methyl-4-(methyldithio)pentanoic acid. Conjugates of some of the prepared tomaymycin derivs. with antibodies, such as huC242 and huB4, were prepared, and the tomaymycin derivs. and antibody conjugates were tested in vitro for antitumor cytotoxicity against A549, KB, and MCF7 cancer cells.

IT 51-35-4, trans-4-Hydroxy-L-proline

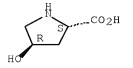
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of tomaymycin derivs. for therapeutic use as antitumor agents)

RN 51-35-4 CAPLUS

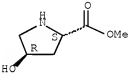
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



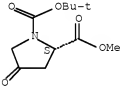
IT 1499-56-5P, trans-4-Hydroxy-L-proline methyl ester
102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tomaymycin derivs. for therapeutic use as antitumor agents)
RN 1499-56-5 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

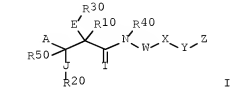
L31 ANSWER 4 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:793702 CAPLUS Full-text
DN 147:166197
TI Preparation of tartaric acid functional compounds for the treatment of disorders mediated by MMPs, aggrecanase, ADMP, LpxC, ADAMs, TACE and TNF-α
IN Siddiqui, M. Arshad; Mansoor, Umar Faruk; Reddy, Panduranga Adulla P.; Madison, Vincent S.
PA Schering Corp., USA
SO U.S. Pat. Appl. Publ., 556pp., Cont.-in-part of U.S. Ser. No. 291,595.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070167426	A1	20070719	US 2006-599784	20061115
	US 20060252778	A1	20061109	US 2005-142601	20050601

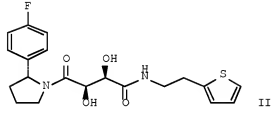
	US 20060178366	A1	20060810	US 2005-291595	20051201
PRAI	US 2004-576153P	P	20040602		
	US 2005-142601	A2	20050601		
	US 2005-291595	A2	20051201		

OS MARPAT 147:166197

GI



I



II

AB The title compds. I [A = (un)substituted benzimidazol-2-yl, imidazol-2-yl, CONH2, CSNH2, etc.; J, E = O, S, NR5 (wherein R5 = H, alkyl, alkylaryl); T = O, S; R10, R20 = H, alkyl, fluoroalkyl; R30 = H, alkyl or R30 and R40, taken together with N to which R40 is attached, are joined to form 4-7 membered (un)substituted heterocyclyl; R40, R50 = H, alkyl; W = [C(R13)2]n (wherein n = 0-5 or a bond; R13 = H, halo, OH, etc.); X = a bond, alkyl, cycloalkyl, etc.; Y = a bond, O, S, NH, etc.; Z = H, alkyl, aryl, etc.; or their pharmaceutically acceptable salts] which can be useful for the treatment of diseases or conditions mediated by MMPs, aggrecanase, ADMP, LpxC, ADAMs, TACE and TNF-α, were prepared E.g., a multi-step synthesis of II, starting from 2,2-dimethyl-[1,3]dioxolane-4R,5R- dicarboxylic acid monomethyl ester and 2-(thien-1-yl)ethylamine, was given. The compds. I were tested against LpxC and ADMP (biol. data given for representative compds. I).

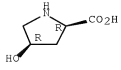
IT 2584-71-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tartaric acid functional compds. for treating inflammation, microbial infection, and other disorders mediated by MMPs, aggrecanase, ADMP, LpxC, ADAMs, TACE and TNF-α)

RN 2584-71-6 CAPLUS

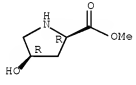
CN D-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 114676-59-4P 256487-77-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tartaric acid functional compds. for treating inflammation, microbial infection, and other disorders mediated by MMPs, aggrecanase, ADMP, LpxC, ADAMs, TACE and TNF-α)
RN 114676-59-4 CAPLUS
CN D-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

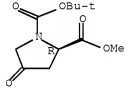
Absolute stereochemistry. Rotation (+).



● HCl

RN 256487-77-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



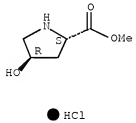
L31 ANSWER 5 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:625736 CAPLUS Full-text
DN 147:235444
TI Enantioselective synthesis of (R)-deoxydysibetaine and (-)-4-epi-dysibetaine
AU Katoh, Miho; Hisa, Chihiro; Honda, Toshio
CS Faculty of Pharmaceutical Sciences, Hoshi University, Ebara 2-4-41, Shinagawa-ku, Tokyo, 142-8501, Japan
SO Tetrahedron Letters (2007), 48(27), 4691-4694
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 147:235444

AB Enantioselective synthesis of (R)-deoxydysibetaine and (-)-4-epi-dysibetaine was achieved by employing a samarium iodide-promoted reductive carbon-nitrogen bond cleavage of a proline derivative, as a key reaction.

IT 40216-83-9 945662-68-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(enantioselective synthesis of deoxydysibetaine and epi-dysibetaine via methylation of hydroxyprolinates, Swern oxidation, chloroformylation, azidation, reduction, protection and reductive bond cleavage as key step)

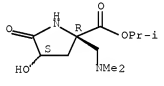
RN 40216-83-9 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 945663-68-3 CAPLUS
CN L-Proline, 2-[(dimethylamino)methyl]-4-hydroxy-5-oxo-, 1-methylethyl ester, (4S)- (CA INDEX NAME)

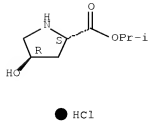
Absolute stereochemistry.



IT 154342-90-2P 945663-69-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantioselective synthesis of deoxydysibetaine and epi-dysibetaine via methylation of hydroxyprolinates, Swern oxidation, chloroformylation, azidation, reduction, protection and reductive bond cleavage as key step)

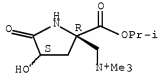
RN 154342-90-2 CAPLUS
CN L-Proline, 4-hydroxy-, 1-methylethyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry.



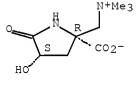
RN 945663-69-4 CAPLUS
CN 2-Pyrrolidinemethanaminium, 4-hydroxy-N,N,N-trimethyl-2-[(1-methylethoxy)carbonyl]-5-oxo-, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



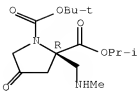
IT 350602-92-5P 945663-59-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(enantioselective synthesis of deoxydysibetaine and epi-dysibetaine via methylation of hydroxyprolinates, Swern oxidation, chloroformylation, azidation, reduction, protection and reductive bond cleavage as key step)
RN 350602-92-5 CAPLUS
CN 2-Pyrrolidinemethanaminium, 2-carboxy-4-hydroxy-N,N,N-trimethyl-5-oxo-, inner salt, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 945663-59-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 2-[(methylamino)methyl]-4-oxo-, 1-(1,1-dimethylethyl) 2-(1-methylethyl) ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

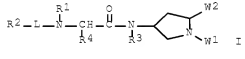


RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 6 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:584717 CAPLUS Full-text
DN 147:31367
TI Preparation of novel aminopyrrolidines, their use as melanocortin 4 receptor (MC4R) agonists, and pharmaceutical compositions for treatment of obesity, diabetes, and infertility
IN Komatsu, Yoshiyuki; Shima, Kyoko; Naka, Tadatsu; Akaboshi, Fumihiko
PA Mitsubishi Welpharma Co., Japan
SO Jpn. Kokai Tokkyo Koho, 46pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2007131570	A	20070531	JP 2005-325433	20051109
PRAI JP 2005-325433		20051109		

OS MARPAT 147:31367
GI

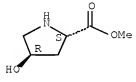


AB Title compds. I [R1 = H, C1-3-alkyl; L = CO, (CR2aR2b)n; n = 0-3; R2a, R2b = H, C1-8 alkyl, aryl-C1-4-alkyl, OH, hydroxy-C1-4-alkyl; R2 = H, C1-8-alkyl, (un)substituted C3-8-cycloalkyl, (un)substituted (hetero)aryl, etc.; R3 = H, C1-6-alkyl; R4 = (CHR4b)pR4b; p = 0-2; R4a = H, C1-8 alkyl, aryl, aryl-C1-4-alkyl, C3-8-cycloalkyl; R4b = (un)substituted (hetero)aryl; W1 = C1-8-alkyl, C3-8-cycloalkyl, (hetero)aryl, heterocyclyl, CO-C1-8-alkyl; W2 = C1-8-alkyl, (CH2)qZ; q = 0-3; Z = C3-8-cycloalkyl, (hetero)aryl, cyano, (alkyl)amino, CO2H, SO2NH2, (alkyl)amino, etc.], their pharmacol. acceptable salts, hydrates, or solvates are prepared Thus, (4S)-4-[N-(N-tert-butoxycarbonyl-4-chlorophenylalanyl)-N-methylamino]-1-cyclohexyl-L-proline Me ester was deprotected, amidated with N-tert-butoxycarbonyl-D-1,2,3,4-tetrahydroisoquinolinecarboxylic acid, and treated with HCl to give (4S)-4-[N-[4-chloro-N-[(3R)-1,2,3,4-tetrahydro-3-isoquinolinylcarbonyl]-D-phenylalanyl]-N-methylamino]-1-cyclohexyl-L-proline Me ester 2HCl salt, which showed MC4R agonist activity with EC50 value of 25.2 nM in hMC4R/CRE-Luc/EK293 cells.

IT 1499-56-5 84343-37-8, N-tert-Butoxycarbonyl-4-oxo-L-

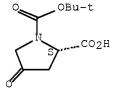
proline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminopyrrolidines as melanocortin 4 receptor agonists for treatment of obesity, diabetes, and infertility)
RN 1499-56-5 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



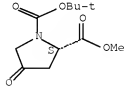
RN 84348-37-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminopyrrolidines as melanocortin 4 receptor agonists for treatment of obesity, diabetes, and infertility)
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L31 ANSWER 7 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1212208 CAPLUS Full-text
DN 146:142988

TI A Spiroisoxazolinoproline-Based Amino Acid Scaffold for Solid Phase and One-Bead-One-Compound Library Synthesis

AU Dixon, Seth M.; Milinkevich, Kristin A.; Fujii, Jeffrey; Liu, Ruiwu; Yao, Nianhuan; Lam, Kit S.; Kurth, Mark J.

CS Department of Chemistry, University of California, Davis, CA, 95616, USA

SO Journal of Combinatorial Chemistry (2007), 9(1), 143-157
CODEN: JCCHFF; ISSN: 1520-4766

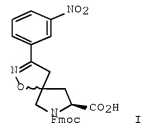
PB American Chemical Society

DT Journal

LA English

OS CASREACT 146:142988

GI



I

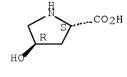
AB An efficient, multigram synthesis of spiroisoxazolinoproline-based amino acid I is reported. The synthesis requires minimal purification, delivers good cis:trans (.apprx.1:4) diastereoselectivity, and provides good yields. Surface-bound studies of the reduction of an arylnitro group in the presence of an isoxazoline ring with tin(II) dichloride dihydrate were undertaken to confirm the stability of the isoxazoline ring in I. The solid-phase synthesis of a sample library of peptidomimetics from I was performed with high yields and high purity. Next, a 129 600 member one-bead-one-compound (OBOC) library was synthesized using I as a scaffold, a dual amino acid encoding method and bifunctionalization of TentaGel resin. The library containing 129 600 unique compds. (not identified here) were stored in a refrigerator for future assaying expts.

IT 51-35-4, L-trans-4-Hydroxyproline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of spiroisoxazolinoproline-based amino acid scaffold for use in
solid-phase one-bead-one-compound library synthesis)

RN 51-35-4 CAPLUS

CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

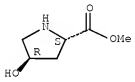
Absolute stereochemistry.



IT 40216-83-9P 102195-80-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of spiroisoxazolinoproline-based amino acid scaffold for use in
solid-phase one-bead-one-compound library synthesis)
RN 40216-83-9 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

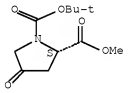
Absolute stereochemistry. Rotation (-).



● HCl

RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

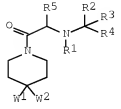


RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 8 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1090311 CAPLUS Full-text
DN 145:438531
TI Preparation of piperidines as melanocortin 4 receptor agonists and their pharmaceutical compositions for treatment of obesity, excessive appetite, sexual dysfunction, and infertility
IN Komatsu, Yoshiyuki; Shima, Kyoko; Naka, Tadaatsu; Akahoshi, Fumihiko
PA Mitsubishi Welpharma Co., Japan
SO Jpn. Kokai Tokkyo Koho, 55pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2006282602	A	20061019	JP 2005-105604	20050401
PRAI JP 2005-105604		20050401		

OS MARPAT 145:438531
GI



I

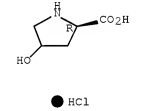
AB Piperidines I [R1 = H, C1-8 alkyl; R2 = H, C1-8 alkyl, (CRaRb)n-C3-8 cycloalkyl, (CRaRb)n-(hetero)aryl, etc.; n = 0-3; Ra, Rb = H, C1-8 alkyl, aryl(-C1-4 alkyl), OH, etc.; R3, R4 = H, C1-8 alkyl, C1-4 hydroxyalkyl, OH; R2R3 may be linked to form (un)substituted C3-10 cycloalkyl, (un)substituted heterocyclyl; R2-R4 may be linked to form (un)substituted (hetero)aryl; R5 = H, C1-8 alkyl, (CHRe)p-C3-8 cycloalkyl, (CHRe)p-(hetero)aryl, etc.; p = 0-2; Re = H, C1-8 alkyl, aryl, aryl-C1-4 alkyl, cycloalkyl; W1 = H, C1-8 alkyl, (CH2)q-C3-8 cycloalkyl, (CH2)q-(hetero)aryl, etc.; W2 = similar group as in W1, (CH2)q-cyano, (CH2)q-CO2Rlg, (CH2)q-OCO2Rlg, etc.; q = 0-3], their pharmacol. acceptable salts, hydrates, or solvates are prepared Thus, treatment of N-[4-cyclohexyl-1-(4-chloro-D-phenylalanyl)piperidin-4-yl]-2-methylpropanamide HCl salt with 1-benzyl-4-piperidone gave N-benzylpiperidine derivative, which exhibited melanocortin 4 receptor agonist activity at EC50 value 20.2 nM.

IT 912853-73-7, 4-Hydroxy-D-proline hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperidines as melanocortin 4 receptor agonists for treatment of obesity and infertility)

RN 912853-73-7 CAPLUS

CN D-Proline, 4-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



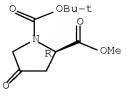
● HCl

IT 256487-77-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidines as melanocortin 4 receptor agonists for treatment of obesity and infertility)

RN 256487-77-1 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2R)- (CA INDEX NAME)

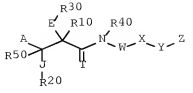
Absolute stereochemistry.



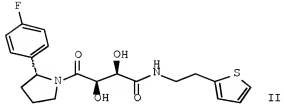
L31 ANSWER 9 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:796760 CAPLUS Full-text
DN 145:230531
TI Preparation of tartaric acid functional compounds for the treatment of inflammatory disorders mediated by MMPs, aggrecanase, ADMP, LpxC, ADAMs, TACE and TNF-α
IN Siddiqui, M. Arshad; Mansoor, Umar Faruk; Reddy, Panduranga A.; Madison, Vincent S.
PA Schering Corporation, USA
SO U.S. Pat. Appl. Publ., 523pp., Cont.-in-part of U.S. Ser. No. 142,601.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060178366	A1	20060810	US 2005-291595	20051201
	US 20060252778	A1	20061109	US 2005-142601	20050601
	US 20070167426	A1	20070719	US 2006-599784	20061115
	WO 2007064749	A1	20070607	WO 2006-US45773	20061129
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	US 2004-576153P	P	20040602		
	US 2005-142601	A2	20050601		
	US 2005-291595	A2	20051201		

OS MARPAT 145:230531
GI



I



II

AB The title compds. I [A = (un)substituted benzimidazol-2-yl, imidazol-2-yl, CONH2, CSNH2, etc.; J, E = O, S, NR5 (wherein R5 = H, alkyl, alkylaryl); T = O, S; R10, R20 = H, alkyl, fluoroalkyl; R30 = H, alkyl or R30 and R40, taken together with N to which R40 is attached, are joined to form 4-7 membered (un)substituted heterocyclyl; R40, R50 = H, alkyl; W = [C(R13)2]n (wherein n = 0-5 or a bond; R13 = H, halo, OH, etc.); X = a bond, alkyl, cycloalkyl, etc.; Y = a bond, O, S, NH, etc.; Z = H, alkyl, aryl, etc.; or their pharmaceutically acceptable salts] which can be useful for the treatment of diseases or conditions mediated by MMPs, aggrecanase, ADMP, LpxC, ADAMs, TACE and TNF-α, were prepared E.g., a multi-step synthesis of II, starting from 2,2-dimethyl-[1,3]dioxolane-4R,5R- dicarboxylic acid monomethyl ester and 2-(thien-1-yl)ethylamine, was given. The compds. I were tested against LpxC and ADMP (biol. data given for representative compds. I).

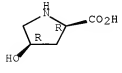
IT 2584-71-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tartaric acid functional compds. for treating inflammatory disorders mediated by MMPs, aggrecanase, ADMP, LpxC, ADAMs, TACE and TNF-α)

RN 2584-71-6 CAPLUS

CN D-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



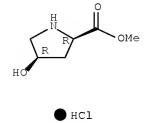
IT 114676-59-4P 256487-77-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tartaric acid functional compds. for treating inflammatory disorders mediated by MMPs, aggrecanase, ADMP, LpxC, ADAMs, TACE and TNF-α)

RN 114676-59-4 CAPLUS

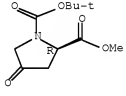
CN D-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 256487-77-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 10 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:765145 CAPLUS Full-text
DN 145:210877
TI Preparation of 1,3-dihydro-2H-indol-2-one compounds and pyrrolidin-2-one compound fused with aromatic heterocycle as antagonists of arginine-vasopressin V1b receptor
IN Sekiguchi, Yoshinori; Kuwada, Takeshi; Hayashi, Masato; Nozawa, Dai; Amada, Yuri; Shibata, Tsuyoshi; Yamamoto, Shuji; Ohta, Hiroshi; Okubo, Taketoshi; Koami, Takeshi
PA Taisho Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 674pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006080574	A1	20060803	WO 2006-JP301913	20060130

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI JP 2005-21010 A 20050128

OS MARPAT 145:210877

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; ring A = each (un)substituted C6-14 aryl or aromatic heterocyclyl; P = a single bond, C1-5 alkylene; Q = each (un)substituted C6-14 aryl or aromatic heterocyclyl, Q1; RD and RE at 2 and 3 or 3 and 4 positions together form (un)substituted C1-3 alkylenedioxy, (CH2)m-O, N-(un)substituted (CH2)m-NH or NH-(CH2)m, (CH2)m-S, O-(CH2)m-S, or S-(CH2)m-S (m = 2-4); R5 = Q2, Q3, etc.; R6 = H, halo, (un)substituted HO; R7 = H, halo, (un)substituted SH; or R6 and R7 together represent oxo; R9 = each (un)substituted OH, SH or NH2; R33 = H, (un)substituted C1-5 alkyl, C3-8 cycloalkyl, C1-5 alkoxycarbonyl, C6-14 aryl, heterocyclyl; RA, RB, RC = H, halo, NO2, NH2, hydroxyamino, C1-5 alkyl, C1-5 alkoxy, C1-5 alkylthio, etc.] or pharmacol. acceptable salts thereof are prepared These compds. are highly selectively antagonistic to arginine-vasopressin V1b receptor over arginine-vasopressin V1a receptor and arginine-vasopressin V2 receptor, have high metabolic stabilities and show favorable migration into the brain and high concns. in the plasma. They provide drugs which are efficacious against pathol. conditions relating to arginine-vasopressin V1b receptor. More particularly speaking, they provide drugs which have a therapeutic or preventive effect on depression, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorders, hypertension, digestive diseases, drug addiction, epilepsy, brain infarction, brain ischemia, brain edema, head injury, inflammation, immune diseases, alopecia and so on. Thus, reductive amination of (4R)-1-((3R)-5-Chloro-3-[2-methoxy-5-(2-oxoethyl)phenyl]-1- ([4-methoxy-2-(trifluoromethoxy)phenyl]sulfonyl)-2-oxo-2,3-dihydro-1H- indol-3-yl)-4-hydroxy-N,N-dimethyl-L-prolinamide with piperidine using sodium triacetoxyborohydride in the presence of acetic acid din a mixture of THF and CHCl3 gave (+)-(4R)-1-[5-Chloro-3-[5-[2-(dimethylamino)ethyl]-2-methoxyphenyl]-1-[[4-methoxy-2-(trifluoromethoxy)phenyl]sulfonyl]-2-oxo- 2,3-dihydro-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-L-prolinamide (II). II inhibited the binding of [3H](Arg8)vasopressin to human arginine vasopressin V1b, V1a, and V2 receptor with IC50 of 0.32, 102, and 5,050, nM, resp.

IT 102195-80-2P, 1-tert-Butyl 2-methyl (2S)-4-oxopyrrolidine-1,2-dicarboxylate 153461-00-8P

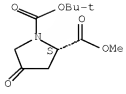
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 1,3-dihydro-2H-indol-2-ones and pyrrolidin-2-ones fused with aromatic heterocycle as selective antagonists of arginine vasopressin V1b receptor)

RN 102195-80-2 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

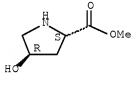


RN 153461-00-8 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, (4R)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 1499-56-5
CMF C6 H11 N O3

Absolute stereochemistry. Rotation (-).



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 11 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:656771 CAPLUS Full-text
DN 145:124813
TI Preparation of lincomycin thio glycoside derivatives possessing antibacterial activity
IN Lewis, Jason G.; Anandan, Sampath K.; O'Dowd, Hardwin; Gordeev, Mikhail F.; Li, Liansheng
PA Vicuron Pharmaceuticals Inc., USA
SO U.S. Pat. Appl. Publ., 171 pp., Cont.-in-part of U.S. Ser. No. 992,564.
CODEN: USXXCO
DT Patent

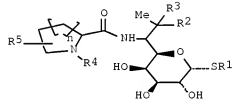
LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060148722	A1	20060706	US 2005-217836	20050831
	US 20040230046	A1	20041118	US 2004-777455	20040211
	US 7199105	B2	20070403		
	US 20050043248	A1	20050224	US 2004-871618	20040617
	US 7199106	B2	20070403		
	US 20050215488	A1	20050929	US 2004-992564	20041117
	US 7256177	B2	20070814		
	CA 2587797	A1	20060526	CA 2005-2587797	20050901
	WO 2006055070	A2	20060526	WO 2005-US31615	20050901
	WO 2006055070	A3	20060720		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	US 2004-777455	A2	20040211		
	US 2004-871618	A2	20040617		
	US 2004-992564	A2	20041117		
	US 2002-403770P	P	20020815		
	US 2003-479296P	P	20030617		
	US 2003-479502P	P	20030617		
	US 2003-642807	A2	20030815		
	US 2005-217836	A	20050831		
	WO 2005-US31615	W	20050901		

OS MARPAT 145:124813

GI



I

AB Lincomycin thio glycoside derivs. I, wherein R1 is hydrogen, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkoxy, halo, and (un)substituted alkylsulfanyl; R2 and R3 are independently hydrogen, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkoxy, cyano, (un)substituted alkylsulfanyl, hydroxy, halo, or one of R2 and R3 is vinyl, or (un)substituted alkoxy imine; R4 is selected from the group consisting of H, alkyl, hydroxyalkyl, -C(O)O-alkylene-cycloalkyl, -C(O)O-alkylene-substituted cycloalkyl,-C(O)O-alkyl, -C(O)O-substituted alkyl, -C(O)O-aryl, -C(O)O-

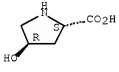
substituted aryl, -C(O)O-heteroaryl, -C(O)O-substituted heteroaryl, -[C(O)O]p-alkyleneheterocycle, -[C(O)O]p-alkylene-substituted heterocycle, wherein p = 0-1; R5 is hydrogen, alkyl, alkoxyalkoxy, cycloalkyl, alkoxyalkoxy, substituted oxygen, substituted nitrogen, halogen, Ph, substituted Ph, -(CH2)m-OH, -(CH2)m-NR6R7, -alkylene-Ra where Ra is monofluorophenyl and monochlorophenyl, and branched chain isomers thereof wherein m is an integer of from 1 to 8 inclusive and R6 and R7 are H or alkyl; n is 1 or 2; are prepared for use as antibacterial agents. Prodrugs, tautomers or pharmaceutically acceptable salts with the proviso that I has a min. inhibition concentration of 32 μg/mL or less against at least one of the organisms selected from the group consisting of Streptococcus pneumoniae, Staphylococcus aureus, Staphylococcus epidermidis, Enterococcus faecalis, Enterococcus faecium, Haemophilus influenzae, Moraxella catarrhalis, Escherichia coli, Bacteroides fragilis, Bacteroides thetaiotaomicron, and Clostridium difficile are presented. Thus, 5-(4-fluoro-butyl)-azepane-2-carboxylic acid [2-chloro-(3,4,5-trihydroxy-6-methylsulfanyl-tetrahydro-pyran-2-yl)-propyl]-amide was prepared and tested in mice via IV as antibacterial agent (0.32 ED50 mg/kg).

IT 51-35-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of lincomycin thio glycoside derivs. possessing antibacterial activity)

RN 51-35-4 CAPLUS

CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

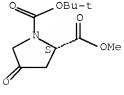


IT 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of lincomycin thio glycoside derivs. possessing antibacterial activity)

RN 102195-80-2 CAPLUS

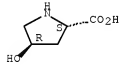
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



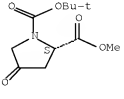
AN 2006:549547 CAPLUS Full-text
DN 145:189162
TI Synthesis and evaluation of acyl protein thioesterase 1 (APT1) inhibitors
AU Biel, Markus; Deck, Patrick; Giannis, Athanassios; Waldmann, Herbert
CS Institute of Organic Chemistry, University of Leipzig, Leipzig, 04103, Germany
SO Chemistry--A European Journal (2006), 12(15), 4121-4143
CODEN: CEUJED; ISSN: 0947-6539
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 145:189162
AB Lipid-modified proteins play decisive roles in important biol. processes such as signal transduction, organization of the cytoskeleton and vesicular transport. Lipidation of these proteins is essential for correct biol. function. Among the modifications with lipids, prenylation and myristoylation are well understood. However, the machinery of palmitoylation is still under investigation. Recently, an enzyme, acyl protein thioesterase 1 (APT1), that may play a regulatory role in the palmitoylation cycle of H-Ras and G-protein α subunits, was purified. Motivated by this work, several lipopeptide inhibitors of APT1 were designed, synthesized and biol. evaluated to be highly active compds.
IT 51-35-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and evaluation of lipopeptides as acyl protein thioesterase APT1 inhibitors)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and evaluation of lipopeptides as acyl protein thioesterase APT1 inhibitors)
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

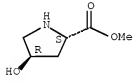
Absolute stereochemistry. Rotation (-).



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 13 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:156938 CAPLUS Full-text
DN 144:252503
TI Chemotactic peptides: fMLF-OMe analogues incorporating proline-methionine chimeras as N-terminal residue
AU Mollica, Adriano; Paradisi, Mario Paglialunga; Varani, Katia; Spisani, Susanna; Lucente, Gino
CS Dipartimento di Studi Farmaceutici and Istituto di Chimica Biomolecolare, CNR Sezione di Roma, Universita di Roma La Sapienza, Rome, 00185, Italy
SO Bioorganic & Medicinal Chemistry (2006), 14(7), 2253-2265
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 144:252503
AB New fMLF analogs incorporating chimeric S-proline-methionine residues (namely the homochiral cis-4(S)-methylthio-(S)-proline and the heterochiral trans-4(R)-methylthio-(S)-proline) in place of the native S-methionine, were prepared and their solution conformation and chemotactic activity as agonists or antagonists of formylpeptide receptors was studied. In addition to these peptides which maintain the Met γ-thiomethyl-ether function, the analogs Boc-PLF-OMe and For-PLF-OMe devoid of position 1 side chain were synthesized and their activity examined
IT 40216-83-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and protection of)
RN 40216-83-9 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

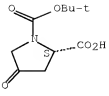
Absolute stereochemistry. Rotation (-).



● HCl

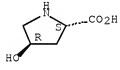
IT 84348-37-8P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 84348-37-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 51-35-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(structure-function anal. of formyl peptide analogs)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 14 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1331127 CAPLUS Full-text
DN 144:69727
TI Preparation of tartaric acid functional compounds for the treatment of inflammatory disorders
IN Guo, Zhuyan; Orth, Peter; Zhu, Zhaoning; Mazzola, Robert D.; Chan, Tin Yau; Vaccaro, Henry A.; McKittrick, Brian; Kozlowski, Joseph A.; Lavey, Brian J.; Zhou, Guowei; Paliwal, Sunil; Wong, Shing-Chun; Shih, Neng-Yang; Ting, Pauline C.; Rosner, Kristin E.; Shipps, Gerald W., Jr.; Siddiqui, M. Arshad; Belanger, David B.; Dai, Chaoyang; Li, Dansu; Girijavallabhan, Vinay M.; Popovici-Muller, Janeta; Yu, Wensheng; Zhao, Lianyun
PA Schering Corporation, USA
SO PCT Int. Appl., 889 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005121130	A2	20051222	WO 2005-US19131	20050601
WO 2005121130	A3	20060720		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

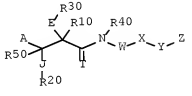
AU	2005252201	A1	20051222	AU 2005-252201	20050601
CA	2569111	A1	20051222	CA 2005-2569111	20050601
EP	1773821	A2	20070418	EP 2005-759261	20050601

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU

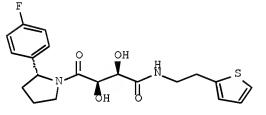
CN	101027295	A	20070829	CN 2005-80026189	20050601
JP	2008501691	T	20080124	JP 2007-515501	20050601
MX	2006PA14054	A	20070131	MX 2006-PA14054	20061130
IN	2006CN04431	A	20070629	IN 2006-CN4431	20061201
KR	20071036?1	A	20071024	KR 2006-726812	20061220
PRAI US	2004-576153P	P	20040602		
WO	2005-US19131	W	20050601		

OS MARPAT 144:69727

GI



I



II

AB The title compds. I [A = (un)substituted benzimidazol-2-yl, imidazol-2-yl, CONH2, CSNH2; J, E = O, S, NR5 (wherein R5 = H, alkyl, alkylaryl); T = O, S; R10, R20 = H, alkyl, fluoroalkyl; R30 = H, alkyl or R30 and R40, taken together with N to which R40 is attached, are joined to form 4-7 membered (un)substituted heterocyclyl; R40, R50 = H, alkyl; W = [C(R13)2]n (wherein n = 0-5; R13 = H, halo, OH, etc.); X = a bond, alkyl, cycloalkyl, etc.; Y = a bond, O, S, NH, etc.; Z = H, alkyl, aryl, etc.; or their pharmaceutically acceptable salts] which can be useful for the treatment of diseases or conditions mediated by MMPs, ADAMs, TACE, TNF-α or combinations thereof, were prepared E.g., a multi-step synthesis of II, starting from 2,2-dimethyl-[1,3]dioxolane-4R,5R-dicarboxylic acid monomethyl ester and 2-(thien-1-yl)ethylamine, was given. The compds. I were tested against TACE (biol. data given for representative compds. I).

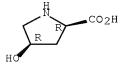
IT 2584-71-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tartaric acid functional compds. for the treatment of inflammatory disorders)

RN 2584-71-6 CAPLUS

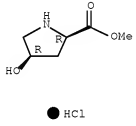
CN D-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



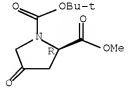
IT 114676-59-4P 256487-77-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tartaric acid functional compds. for the treatment of inflammatory disorders)
RN 114676-59-4 CAPLUS
CN D-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 256487-77-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 15 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1289687 CAPLUS Full-text
DN 144:51568
TI Preparation of substituted 2-quinolyl-oxazoles and their heterocyclic analogs useful as pde4 inhibitors
IN Kuang, Rongze; Blythin, David; Shih, Neng-Yang; Shue, Ho-Jane; Chen, Xiao;

Cao, Jianhua; Gu, Danlin; Huang, Ying; Schwerdt, John H.; Ting, Pauline C.; Wong, Shing-Chun; Xiao, Li

PA Schering Corporation, USA

SO PCT Int. Appl., 233 pp.
CODEN: PIXXD2

DT Patent

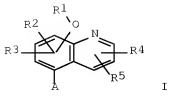
LA English

FAN.CNT 1

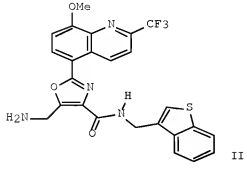
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005116009	A1	20051208	WO 2005-US17134	20050516
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005247906	A1	20051208	AU 2005-247906	20050516
	CA 2565599	A1	20051208	CA 2005-2565599	20050516
	US 20060106062	A1	20060518	US 2005-130359	20050516
	EP 1758883	A1	20070307	EP 2005-750076	20050516
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
	CN 1984901	A	20070620	CN 2005-80023666	20050516
	BR 2005011295	A	20071204	BR 2005-11295	20050516
	JP 2007537300	T	20071220	JP 2007-513471	20050516
	MX 2006PA13414	A	20070123	MX 2006-PA13414	20061117
	KR 2007013306	A	20070130	KR 2006-724186	20061117
	IN 2006CN04254	A	20070629	IN 2006-CN4254	20061117
	NO 2006005830	A	20070216	NO 2006-5830	20061215
PRAI	US 2004-572266P	P	20040518		
	WO 2005-US17134	W	20050516		

OS MARPAT 144:51568

GI



I



II

AB Title compds. I [R1 = H, alkyl, cycloalkyl; R2, R3 and R5 independently = H or halo; R4 = H, halo, alkyl, etc.; A = substituted oxazolyl, imidazole, thiazole or pyrrole], and their pharmaceutically acceptable salts, are prepared and disclosed as pde4 inhibitors. Thus, e.g., II was prepared in a multistep synthesis from 2-trifluoromethyl-8-methoxyquinolin-5-yl carboxylic acid. In PDE4 assays, selected compds. possessed IC50 values ranging from 0.01-1.8 nM. Also claimed are pharmaceutical compns., the use of the compds. as PDE4 inhibitors, and combinations with other actives.

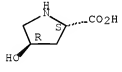
IT 51-35-4 61478-25-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted quinolyloxazoles and their heterocyclic analogs useful as PDE4 inhibitors)

RN 51-35-4 CAPLUS

CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

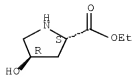
Absolute stereochemistry.



RN 61478-25-9 CAPLUS

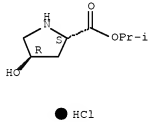
CN L-Proline, 4-hydroxy-, ethyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



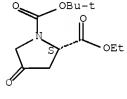
IT 154342-90-2P 204767-14-6P 871014-01-6P
871014-13-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted quinolyloxazoles and their heterocyclic analogs useful as PDE4 inhibitors)
RN 154342-90-2 CAPLUS
CN L-Proline, 4-hydroxy-, 1-methylethyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry.



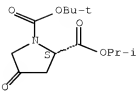
RN 204767-14-6 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-ethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



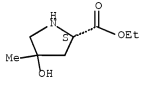
RN 871014-01-6 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-(1-methylethyl) ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 871014-13-0 CAPLUS
CN L-Proline, 4-hydroxy-4-methyl-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 16 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1242449 CAPLUS Full-text
DN 144:6815
TI Preparation of cycloalkylcarbonylamines and heterocycloalkylcarbonylamines as 11-β hydroxysteroid dehydrogenase type 1 inhibitors and mineralocorticoid receptor antagonists and their use as pharmaceuticals
IN Yao, Wenqing; Zhuo, Jincong; Xu, Meizhong; Zhang, Colin; Metcalf, Brian; He, Chunhong; Qian, Ding-Quan
PA Incyte Corporation, USA
SO PCT Int. Appl., 253 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005110992	A1	20051124	WO 2005-US15559	20050504
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005243222	A1	20051124	AU 2005-243222	20050504
	CA 2565238	A1	20051124	CA 2005-2565238	20050504

	US 20050282858	A1	20051222	US 2005-122309	20050504
	US 7304081	B2	20071204		
	EP 1756063	A1	20070228	EP 2005-745656	20050504
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
	CN 101001842	A	20070718	CN 2005-80022687	20050504
	BR 2005010736	A	20071106	BR 2005-10736	20050504
	JP 2007536252	T	20071213	JP 2007-511571	20050504
	IN 2006KN03130	A	20070608	IN 2006-KN3130	20061027
	KR 2007007184	A	20070112	KR 2006-723362	20061107
	MX 2006PA12894	A	20070215	MX 2006-PA12894	20061107
	NO 2006005442	A	20061127	NO 2006-5442	20061127
	US 20070179142	A1	20070802	US 2007-784450	20070406
PRAI	US 2004-569273P	P	20040507		
	US 2004-602051P	P	20040817		
	US 2004-602791P	P	20040819		
	US 2004-638803P	P	20041222		
	US 2005-122309	A3	20050504		
	WO 2005-US15559	W	20050504		

OS MARPAT 144:6815

AB The present invention relates to cycloalkylcarbonylamines and heterocycloalkylcarbonylamines (CyC(R1)(R2)C(O)N(R3)(R4) (I); variables defined below; e.g. (3S)-1-[[1-(4-chlorophenyl)cyclopropyl]carbonyl]pyrrolidin-3-ol (II)) as inhibitors of 11-β hydroxysteroid dehydrogenase type 1 (no data), antagonists of the mineralocorticoid receptor (no data), and pharmaceutical compns. thereof. The compds. of the invention can be useful in the treatment of various diseases associated with expression or activity of 11-β hydroxysteroid dehydrogenase type 1 and/or diseases associated with aldosterone excess. For I: Cy is aryl, heteroaryl, cycloalkyl or heterocycloalkyl; R1 and R2 together with the C atom to which they are attached form a 3-7-membered cycloalkyl or heterocycloalkyl group; R3 and R4 together with the N atom to which they are attached form a 4-15 membered heterocycloalkyl group; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for >600 examples of I and intermediates are included. For example, II was prepared from 1-(4-chlorophenyl)cyclopropanecarboxylic acid and (3S)-pyrrolidin-3-ol using BOP and Hunig's base in DMF.

IT 40216-83-9, Methyl (2S,4R)-4-hydroxypyrrolidine-2-carboxylate hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cycloalkylcarbonylamines and heterocycloalkylcarbonylamines as 11-β hydroxysteroid dehydrogenase type 1 inhibitors and mineralocorticoid receptor antagonists and their pharmaceutical uses)

RN 40216-83-9 CAPLUS

CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

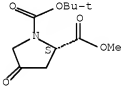
● HCl

IT 102195-80-2P, 1-tert-Butyl 2-methyl (2S)-4-oxopyrrolidine-1,2-dicarboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cycloalkylcarbonylamines and heterocycloalkylcarbonylamines as 11-β hydroxysteroid dehydrogenase type 1 inhibitors and mineralocorticoid receptor antagonists and their pharmaceutical uses)

RN 102195-80-2 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 17 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:1050834 CAPLUS Full-text

DN 143:347392

TI Preparation of lincomycin derivatives possessing antibacterial activity

IN Lewis, Jason G.; Anandan, Sampath K.; O'Dowd, Hardwin; Gordeev, Mikhail F.

PA Vicuron Pharmaceuticals, Inc., USA

SO U.S. Pat. Appl. Publ., 140 pp., Cont.-in-part of U.S. Ser. No. 871,618.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20050215488	A1	20050929	US 2004-992564	20041117
	US 7256177	B2	20070814		
	US 20040116690	A1	20040617	US 2003-642807	20030815
	US 7164011	B2	20070116		
	US 20040230046	A1	20041118	US 2004-777455	20040211
	US 7199105	B2	20070403		
	US 20050043248	A1	20050224	US 2004-871618	20040617
	US 7199106	B2	20070403		
	US 20060148722	A1	20060706	US 2005-217836	20050831
	CA 2587797	A1	20060526	CA 2005-2587797	20050901
	WO 2006055070	A2	20060526	WO 2005-US31615	20050901
	WO 2006055070	A3	20060720		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,

SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1814893 A2 20070808 EP 2005-794095 20050901

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRAI US 2003-479296P P 20030617

US 2003-479502P P 20030617

US 2003-642807 A2 20030815

US 2004-777455 A2 20040211

US 2004-871618 A2 20040617

US 2002-403770P P 20020815

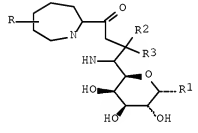
US 2004-992564 A2 20041117

US 2005-217836 A 20050831

WO 2005-US31615 W 20050901

OS MARPAT 143:347392

GI



I

AB Lincomycin derivs. I, wherein R can be singly or multiply substituted in the ring on the same or different carbon; alkyl, cycloalkyl, alkenyl, alkylidene, oxygen, substituted N, halo, aryl, alkylsulfanyl, heteroarylsulfanylalkyl, arylsulfanyl; R1 is H, alkyl, alkenyl, alkoxy, halo, alkylsulfanyl; R2 and R3 are independently H, alkyl, alkenyl, alkoxy, cyano, alkylsulfanyl, OH, halo, oxime; R2R3 are together CH2; were prepared and tested as antibacterial agents. The compds. of the subject invention may exhibit potent activities against bacteria, including Gram pos. organisms, and may be useful antimicrobial agents. Thus, 5-propyl-4-methyl-azepane-2-carboxylic acid [2-chloro-1-(3,4,5-trihydroxy- 6-methylsulfanyl-tetrahydro-pyran-2-yl)-propyl]-amide was prepared and tested in vitro against Gram pos. bacteria.

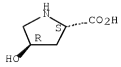
IT 51-35-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of lincomycin derivs. possessing antibacterial activity)

RN 51-35-4 CAPLUS

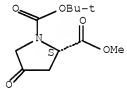
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



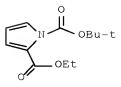
IT 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of lincomycin derivs. possessing antibacterial activity)
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

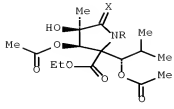


RE.CNT 101 THERE ARE 101 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 18 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:619420 CAPLUS Full-text
DN 143:286655
TI Utility of the ammonia-free Birch reduction of electron-deficient pyrroles: Total synthesis of the 20S proteasome inhibitor, clasto-lactacystin β-lactone
AU Donohoe, Timothy J.; Sintim, Herman O.; Sisangia, Leena; Ace, Karl W.; Guyo, Paul M.; Cowley, Andrew; Harling, John D.
CS Department of Chemistry, Chemistry Research Laboratory, University of Oxford, Oxford, OX1 3TA, UK
SO Chemistry--A European Journal (2005), 11(14), 4227-4238
CODEN: CEUJED; ISSN: 0947-6539
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 143:286655
GI



I



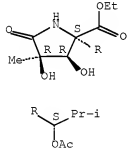
II

AB A new synthesis of the 20S proteasome inhibitor clasto-lactacystin β-lactone is described. Our route to this important natural product involves the partial reduction of an electron deficient pyrrole I as a key step. By judicious choice of enolate counterion, we were able to exert complete control over the stereoselectivity of the reduction/aldol reaction. Early attempts to complete the synthesis by using a C-4 Me substituted pyrrole II (R = H, X = O) are described in full, together with our attempts to promote regioselective elimination of a tertiary alc. II (R = CO2CMe3, X = H2). The lessons learned from this first approach led us to develop another, and ultimately successful, route that introduced the C-4 Me group at a late stage in the synthesis. Our successful route is then described and this contains several highly stereoselective steps including a cis-dihydroxylation and an enolate methylation. The final synthesis proceeds in just 13 steps and in 15% overall yield making it an extremely efficient route to this valuable compound

IT 864163-88-2P 864163-93-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective total synthesis of clasto-lactacystin via Birch reduction of electron-deficient pyrrole, cis-dihydroxylation, and methylation)

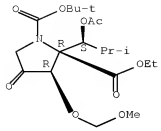
RN 864163-88-2 CAPLUS
CN D-Proline, 2-[(1S)-1-(acetyloxy)-2-methylpropyl]-3,4-dihydroxy-4-methyl-5-oxo-, ethyl ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



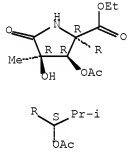
RN 864163-93-9 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 2-[(1S)-1-(acetyloxy)-2-methylpropyl]-3-(methoxymethoxy)-4-oxo-, 1-(1,1-dimethylethyl) 2-ethyl ester, (2R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.



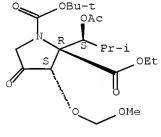
IT 864163-89-3P 864163-94-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective total synthesis of clasto-lactacystin via Birch reduction of electron-deficient pyrrole, cis-dihydroxylation, and methylation)
RN 864163-89-3 CAPLUS
CN D-Proline, 3-(acetyloxy)-2-[(1S)-1-(acetyloxy)-2-methylpropyl]-4-hydroxy-4-methyl-5-oxo-, ethyl ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 864163-94-0 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 2-[(1S)-1-(acetyloxy)-2-methylpropyl]-3-(methoxymethoxy)-4-oxo-, 1-(1,1-dimethylethyl) 2-ethyl ester, (2R,3S)-rel- (CA INDEX NAME)

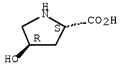
Relative stereochemistry.



RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

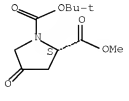
L31 ANSWER 19 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:544159 CAPLUS Full-text
DN 143:212144
TI Facile syntheses of conformationally constrained analogues of lysine and homoglutamic acid
AU Barkallah, Salim; Schneider, Stephen L.; McCafferty, Dewey G.
CS Department of Biochemistry and Biophysics and the Johnson Research Foundation, The University of Pennsylvania School of Medicine, Philadelphia, PA, 19104-6059, USA
SO Tetrahedron Letters (2005), 46(30), 4985-4987
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 143:212144
AB A facile divergent synthesis of the novel amino acid trans-4-aminoethyl-L-proline and trans-4-carboxymethyl-L-proline from com. available trans-4-hydroxy-L-proline was developed. These conformationally constrained analogs of L-lysine and L-homoglutamic acid are useful proline templated amino acids (PTAAs) with potential applications in protein engineering and de novo protein design.
IT 51-35-4, trans-4-Hydroxy-L-proline
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of aminoethyl- and carboxymethyl-L-proline as conformationally constrained analogs of lysine and homoglutamic acid)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of aminoethyl- and carboxymethyl-L-proline as conformationally constrained analogs of lysine and homoglutamic acid)
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

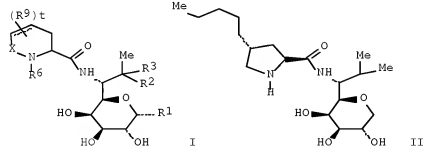


RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 20 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:160818 CAPLUS Full-text
DN 142:261735
TI Preparation of lincomycin derivatives as antibacterial agents
IN Lewis, Jason G.; Anandan, Sampath-Kumar; O'Dowd, Hardwin; Gordeev, Mikhail F.
PA Vicuron Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 125 pp., Cont.-in-part of U.S. Ser. No. 777,455.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20050043248	A1	20050224	US 2004-871618	20040617
	US 7199106	B2	20070403		
	US 20040116690	A1	20040617	US 2003-642807	20030815
	US 7164011	B2	20070116		
	US 20040230046	A1	20041118	US 2004-777455	20040211
	US 7199105	B2	20070403		
	US 20050215488	A1	20050929	US 2004-992564	20041117
	US 7256177	B2	20070814		
	US 20060148722	A1	20060706	US 2005-217836	20050831
PRAI	US 2003-479296P	P	20030617		
	US 2003-479502P	P	20030617		
	US 2003-642807	A2	20030815		
	US 2004-777455	A2	20040211		
	US 2002-403770P	P	20020815		
	US 2004-871618	A2	20040617		
	US 2004-992564	A2	20041117		

OS MARPAT 142:261735
GI

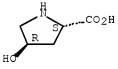


AB Lincomycin derivs. I, wherein the delocalized bond represents a double bond or a single bond; R1 is alkyl, SMe, S-alkyl, S-(2-hydroxyethyl), (heteroaryl)alkyl, H, halogen, alkyl-sulfanyl, alkenyl, alkoxy, cycloalkyl-alkyl; R2 and R3 are independently H, alkyl, alkenyl, alkoxy, CN, alkyl-

sulfanyl, OH, halo, oxime; R6 is H, alkyl, (carboxamide)alkyl, (carbamoyl)alkyl, alkoxycarbonyl, (alkoxycarbonyl)alkyl, (alkoxycarbonyl-amino)alkyl, amine; R9 is H, alkyl, halo, alkenyl, (heteroaryl)alkenyl, sulfonyl, X is (CH2)m; m is 0-2; t is 0-3; are prepared as antibacterial agents. The compds. of the subject invention may exhibit potent activities against bacteria, including gram pos. organisms, and may be useful antimicrobial agents. Methods of synthesis and of use the compds. are also disclosed. Title compds. have a min. inhibition concentration of 32 μg/mL or less against at least one of the organisms selected from the group consisting of Streptococcus pneumoniae, Staphylococcus aureus, Staphylococcus epidermidis, Enterococcusfaecalis, Enterococcusfaecium, Haemophilus influenzae, Moraxella catarrhalis, Escherichia coli, Bacteroidesfragilis, and Clostridium difficile. Thus, aminodeoxy glycoside II was prepared and tested in vitro as antibacterial agent.

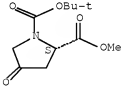
IT 51-35-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of lincomycin derivs. as antibacterial agents)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of lincomycin derivs. as antibacterial agents)
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



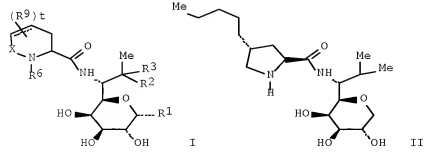
RE.CNT 101 THERE ARE 101 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 21 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:120944 CAPLUS Full-text
DN 142:240671
TI Preparation of lincomycin derivatives as antibacterial agents
IN Lewis, Jason G.; Anandan, Sampath K.; O'dowd, Hardwin; Gordeev, Mikhail F.

PA Vicuron Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 284 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005012320	A2	20050210	WO 2004-US19689	20040617
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 20040116690	A1	20040617	US 2003-642807	20030815
	US 7164011	B2	20070116		
	US 20040230046	A1	20041118	US 2004-777455	20040211
	US 7199105	B2	20070403		
	AU 2004261550	A1	20050210	AU 2004-261550	20040617
	CA 2528592	A1	20050210	CA 2004-2528592	20040617
	EP 1644393	A2	20060412	EP 2004-776816	20040617
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	BR 2004011534	A	20060822	BR 2004-11534	20040617
	CN 1823083	A	20060823	CN 2004-80020301	20040617
	JP 2007516172	T	20070621	JP 2006-517464	20040617
	NO 2005005893	A	20060314	NO 2005-5893	20051212
	MX 2005PA13915	A	20060703	MX 2005-PA13915	20051216
PRAI	US 2003-479296P	P	20030617		
	US 2003-479502P	P	20030617		
	US 2003-642807	A	20030815		
	US 2004-777455	A	20040211		
	US 2002-403770P	P	20020815		
	WO 2004-US19689	W	20040617		

OS MARPAT 142:240671
GI



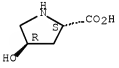
AB Lincomycin derivs. I, wherein the delocalized bond represents a double bond or a single bond; R1 is alkyl, SMe, S-alkyl, S-(2-hydroxyethyl), (heteroaryl)alkyl, H, halogen, alkylsulfanyl, alkenyl, alkoxy, cycloalkyl-alkyl; R2 R3 are independently H, alkyl, alkenyl, alkoxy, CN, alkylsulfanyl, OH, halo, oxime; R6 is H, alkyl, (carboxamido)alkyl, (carbamoyl)alkyl, alkoxycarbonyl, (alkoxycarbonyl)alkyl, (alkoxycarbonyl-amino)alkyl, amine; R9 is H, alkyl, halo, alkenyl, (heteroaryl)alkenyl, sulfonyl, X is (CH2)m; m is 0-2; t is 0-3; are prepared as antibacterial agents. The compds. of the subject invention may exhibit potent activities against bacteria, including gram pos. organisms, and may be useful antimicrobial agents. Methods of synthesis and of use the compds. are also disclosed. Title compds. have a min. inhibition concentration of 32 μg/mL or less against at least one of the organisms selected from the group consisting of Streptococcus pneumoniae, Staphylococcus aureus, Staphylococcus epidermidis, Enterococcusfaecalis, Enterococcusfaecium, Haemophilus influenzae, Moraxella catarrhalis, Escherichia coli, Bacteroidesfragilis, and Clostridium difficile. Thus, aminodeoxy glycoside II was prepared and tested in vitro as antibacterial agent.

IT 51-35-4, (2S,4R)-4-Hydroxyproline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of lincomycin derivs. as antibacterial agents)

RN 51-35-4 CAPLUS

CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

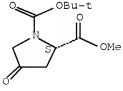


IT 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of lincomycin derivs. as antibacterial agents)

RN 102195-80-2 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L31 ANSWER 22 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:1086428 CAPLUS Full-text

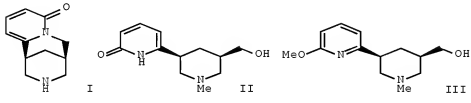
DN 142:198248

TI Syntheses of (+)-Cytisine, (-)-Kuraramine, (-)-Isokuraramine, and (-)-Jussiaeiine A

AU Honda, Toshio; Takahashi, Rie; Namiki, Hidenori
CS Faculty of Pharmaceutical Sciences, Hoshi University, Shinagawa, Tokyo, 142-8501, Japan

SO Journal of Organic Chemistry (2005), 70(2), 499-504
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:198248

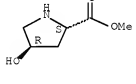
GI



AB Total syntheses of (+)-cytisine (I), (-)-kuraramine (II), (-)-isokuraramine, and (-)-jussiaeiine A (III) were achieved via a samarium diiodide-promoted reductive deamination reaction, followed by simultaneous recyclization of a proline derivative to give the corresponding δ-lactam derivative, as a key step.

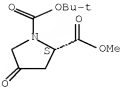
IT 1499-56-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of cytisine, kuraramine, isokuraramine, and jussiaeiine A via samarium diiodide-promoted reductive deamination/recyclization)
RN 1499-56-5 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of cytisine, kuraramine, isokuraramine, and jussiaeiine A via samarium diiodide-promoted reductive deamination/recyclization)
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 23 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:999707 CAPLUS Full-text
DN 141:424382
TI Preparation of lincomycin thio glycoside derivatives possessing antibacterial activity
IN Lewis, Jason G.; Patel, Dinesh V.; Anandan, Sampath Kumar; Gordeev, Mikhail F.
PA Vicuron Pharmaceuticals Inc., USA
SO U.S. Pat. Appl. Publ., 102 pp., Cont.-in-part of U.S. Ser. No. 642,807.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 6

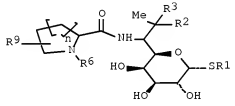
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040230046	A1	20041118	US 2004-777455	20040211
	US 7199105	B2	20070403		
	US 20040116690	A1	20040617	US 2003-642807	20030815
	US 7164011	B2	20070116		
	CA 2528596	A1	20050127	CA 2004-2528596	20040617
	WO 2005007665	A2	20050127	WO 2004-US19497	20040617
	WO 2005007665	A3	20050818		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004261550	A1	20050210	AU 2004-261550	20040617
	CA 2528592	A1	20050210	CA 2004-2528592	20040617
	WO 2005012320	A2	20050210	WO 2004-US19689	20040617
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,				

SN, TD, TG

	US 20050043248	A1	20050224	US 2004-871618	20040617
	US 7199106	B2	20070403		
	EP 1644393	A2	20060412	EP 2004-776816	20040617
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	EP 1654268	A2	20060510	EP 2004-785949	20040617
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	BR 2004011537	A	20060801	BR 2004-11537	20040617
	BR 2004011534	A	20060822	BR 2004-11534	20040617
	CN 1823083	A	20060823	CN 2004-80020301	20040617
	JP 2007516172	T	20070621	JP 2006-517464	20040617
	JP 2007528360	T	20071011	JP 2006-517386	20040617
	US 20050215488	A1	20050929	US 2004-992564	20041117
	US 7256177	B2	20070814		
	US 20060148722	A1	20060706	US 2005-217836	20050831
	NO 2005005893	A	20060314	NO 2005-5893	20051212
	MX 2005PA13915	A	20060703	MX 2005-PA13915	20051216
	MX 2005PA14064	A	20060711	MX 2005-PA14064	20051219
PRAI	US 2002-403770P	P	20020815		
	US 2003-479502P	P	20030617		
	US 2003-642807	A2	20030815		
	US 2003-479296P	P	20030617		
	WO 2003-US25820	A	20030815		
	US 2004-777455	A	20040211		
	US 2004-871618	A2	20040617		
	WO 2004-US19497	W	20040617		
	WO 2004-US19689	W	20040617		
	US 2004-992564	A2	20041117		

OS MARPAT 141:424382

GI



I

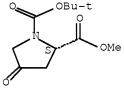
AB Lincomycin thio glycoside derivs. I, wherein R1 is alkyl; R2 and R3 are independently H, alkyl, hydroxy, fluoro, or cyanoalkyl or one of R2 and R3 is = NOR7 and the other is absent, or one of R2 and R3 is = CH2 and the other is absent, with the proviso that both R2 and R3 are not H; when one of R2 and R3 is fluoro, the other is not hydrogen or hydroxy; and when one of R2 and R3 is hydroxy, the other is not fluoro, hydrogen, or hydroxy; R6 is selected from the group consisting of H, alkyl, hydroxyalkyl, -C(O)O-alkylen-cycloalkyl, -C(O)O-alkylene-substituted cycloalkyl,-C(O)O-alkyl, -C(O)O-substituted alkyl, -C(O)O-aryl, -C(O)O-substituted aryl, -C(O)O-heteroaryl, -C(O)O-substituted heteroaryl, -[C(O)O]p-alkyleneheterocycle, -[C(O)O]p-alkylene-substituted heterocycle, wherein p = 0-1; R7 is H or alkyl; R9 is hydrogen, alkyl, alkoxyalkoxy, cycloalkyl, alkoxyalkoxy, substituted oxygen, substituted nitrogen, halogen, Ph, substituted Ph, -(CH2)m-OH, -(CH2)m-NR4R5, -alkylene-Ra

where Ra is monofluorophenyl and monochlorophenyl, and branched chain isomers thereof wherein m is an integer of from 1 to 8 inclusive and R4 and R5 are H or alkyl; n is 1 or 2; are disclosed. These lincomycin derivs. exhibit antibacterial activity. As the compds. of the subject invention exhibit potent activities against bacteria, including gram pos. organisms, they are useful antimicrobial agents. Methods of synthesis and of use of the compds. are also disclosed. Prodrugs, tautomers or pharmaceutically acceptable salts thereof; with the proviso that the compound of formula I has a min. inhibition concentration of 32 μg/mL or less against at least one of the organisms selected from the group consisting of Streptococcus pneumoniae, Staphylococcus aureus, Staphylococcus epidermidis, Enterococcus faecalis, Enterococcus faecium, Haemophilus influenzae, Moraxella catarrhalis, Escherichia coli, Bacteroides fragilis, Bacteroides thetaiotaomicron, and Clostridium difficile. Thus, 1-(4-n-propyl-N- methylpyrrolidin-2-yl)-N-[1-[3,4,5-trihydroxy-6-(methylthio)tetrahydropyran-2-yl]-2-methylprop-1-yl]acetamide was prepared and tested in mice as antibacterial agent.

IT 102195-80-2P 663614-79-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of lincomycin thio glycoside derivs. possessing antibacterial activity)

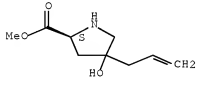
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 663614-79-7 CAPLUS
CN L-Proline, 4-hydroxy-4-(2-propenyl)-, methyl ester (9CI) (CA INDEX NAME)

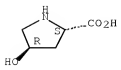
Absolute stereochemistry.



IT 51-35-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of lincomycin thio glycoside derivs. possessing antibacterial activity)

RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

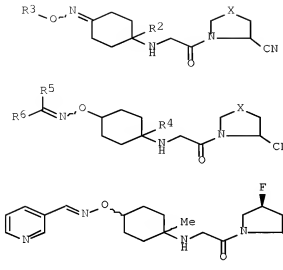


RE.CNT 106 THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 24 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:996160 CAPLUS Full-text
DN 141:410811
TI Preparation of 1-(2-aminoacetyl)-2-cyanopyrrolidines as dipeptidyl peptidase-IV inhibitors for treatment of NIDDM
IN Shima, Ichiro; Kurosaki, Toshio; Wada, Aiko
PA Fujisawa Pharmaceutical Co. Ltd., Japan
SO PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004099185	A1	20041118	WO 2004-JP6568	20040510
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	AU 2003-902260	A	20030509		

OS MARPAT 141:410811
GI



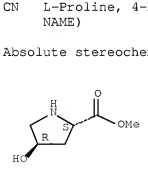
AB Title compds. I and II [wherein X = CHF, CF2; R2 = alkyl; R3 = (un)substituted cycloalkyl, (hetero)arylalkyl; R4 = alkyl; R5 = H, alkyl; R6 = (un)substituted (cyclo)alkyl, (hetero)aryl; or CR5R6 = cycloalkyl; and pharmaceutically acceptable salts thereof] were prepared as dipeptidyl peptidase-IV (DPP-IV) inhibitors. For example, coupling of tert-Bu 4-(aminooxy)-1-methylcyclohexylcarbamate with nicotinaldehyde, deprotection of the amine, and alkylation with (2S,4S)-1-chloroacetyl-4- fluoro-2-pyrrolidinecarbonitrile, afforded III. The latter inhibited human plasma DPP-IV with an IC50 value of 14 nM. Thus, I, II, and their pharmaceutical compns. are useful in the treatment of conditions mediated by DPP-IV, such as non-insulin dependent diabetes mellitus (NIDDM).

IT 40216-83-9P, Methyl (2S,4R)-4-hydroxy-2-pyrrolidinecarboxylate hydrochloride 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolidinecarbonitriles as DPP-IV inhibitors for treatment of NIDDM)

RN 40216-83-9 CAPLUS

CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

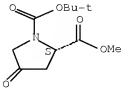


● HCl

RN 102195-80-2 CAPLUS

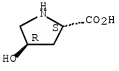
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



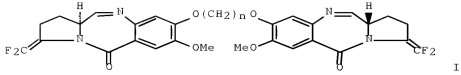
IT 51-35-4, Hydroxy-L-proline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolidinecarbonitriles as DPP-IV inhibitors for treatment of NIDDM)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 25 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:863131 CAPLUS Full-text
DN 142:56263
TI Synthesis of fluorinated analogues of SJG-136 and their DNA-binding potential
AU Kamal, Ahmed; Reddy, P. S. M. M.; Reddy, D. Rajasekhar; Laxman, E.; Murthy, Y. L. N.
CS Division of Organic Chemistry, Indian Institute of Chemical Technology, Hyderabad, 500007, India
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(22), 5699-5702
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 142:56263
GI



I

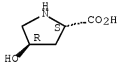
AB A series of fluorinated pyrrolobenzodiazepines I [n = 3-5] have been synthesized and exhibit remarkable DNA-binding affinity.

IT 51-35-4, L-4-Hydroxyproline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and DNA-binding potential of alkylenoxybis(difluoromethylenepyr rolobenzodiazepines))

RN 51-35-4 CAPLUS

CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

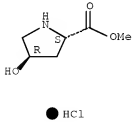


IT 40216-83-9P 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and DNA-binding potential of alkylenoxybis(difluoromethylenepyr rolobenzodiazepines))

RN 40216-83-9 CAPLUS

CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

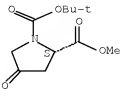
Absolute stereochemistry. Rotation (-).



RN 102195-80-2 CAPLUS

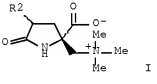
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

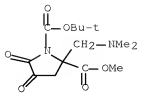


RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 26 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:790235 CAPLUS Full-text
DN 141:424403
TI Diastereoselective Syntheses of Deoxydysibetaine, Dysibetaine, and its 4-Epimer
AU Langlois, Nicole; Nguyen, Bao K. Le
CS Institut de Chimie des Substances Naturelles, CNRS, Gif-sur-Yvette, 91198, Fr.
SO Journal of Organic Chemistry (2004), 69(22), 7558-7564
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 141:424403
GI



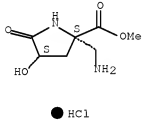
AB (±)-Deoxydysibetaine I (R2 = H) and 4-epi-dysibetaine I (R2 = OH) were prepared in a few steps from Me pyroglutamate through a regioselective Mannich reaction at C-2. Natural (2S,4S)-dysibetaine, a sponge metabolite isolated from Dysidea herbacea, and (2S)-I (R2 = H) were synthesized from enantiopure (S)-pyroglutaminol with very high stereoselectivity. The key steps were an original formation of stereogenic quaternary center C-2 and the diastereoselective hydroxylation at C-4.
IT 793682-96-9P
RL: BYP (Byproduct); PREP (Preparation)
(asym. synthesis of dysibetaine and deoxydysibetaine and diastereoselective synthesis of 4-epi-dysibetaine via regioselective Mannich reaction and diastereoselective hydroxylation)
RN 793682-96-9 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 2-[(dimethylamino)methyl]-4,5-dioxo-, 1-(1,1-dimethylethyl) 2-methyl ester (CA INDEX NAME)



IT 718615-76-0P 718615-81-7P 718615-82-8P
718615-83-9P 793682-87-8P 793682-89-0P
793682-99-2P 793683-00-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis of dysibetaine and deoxydysibetaine and diastereoselective synthesis of 4-epi-dysibetaine via regioselective Mannich reaction and diastereoselective hydroxylation)

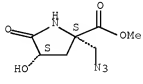
RN 718615-76-0 CAPLUS
CN D-Proline, 2-(aminomethyl)-4-hydroxy-5-oxo-, methyl ester, monohydrochloride, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



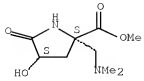
RN 718615-81-7 CAPLUS
CN D-Proline, 2-(azidomethyl)-4-hydroxy-5-oxo-, methyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 718615-82-8 CAPLUS
CN D-Proline, 2-[(dimethylamino)methyl]-4-hydroxy-5-oxo-, methyl ester, monohydrochloride, (4S)- (9CI) (CA INDEX NAME)

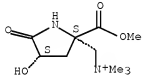
Absolute stereochemistry. Rotation (-).



● HCl

RN 718615-83-9 CAPLUS
CN 2-Pyrrolidinemethanaminium, 4-hydroxy-2-(methoxycarbonyl)-N,N,N-trimethyl-5-oxo-, iodide, (2S,4S)- (9CI) (CA INDEX NAME)

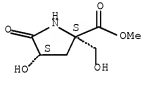
Absolute stereochemistry. Rotation (-).



● I-

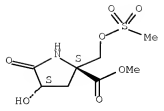
RN 793682-87-8 CAPLUS
CN D-Proline, 4-hydroxy-2-(hydroxymethyl)-5-oxo-, methyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 793682-89-0 CAPLUS
CN D-Proline, 4-hydroxy-2-[[(methylsulfonyl)oxy]methyl]-5-oxo-, methyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

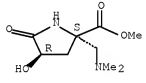


RN 793682-99-2 CAPLUS
CN D-Proline, 2-[(dimethylamino)methyl]-4-hydroxy-5-oxo-, methyl ester, (4R)-rel-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 793682-98-1
CMF C9 H16 N2 O4

Relative stereochemistry.

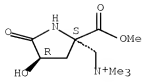


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 793683-00-8 CAPLUS
CN 2-Pyrrolidinemethanaminium, 4-hydroxy-2-(methoxycarbonyl)-N,N,N-trimethyl-5-oxo-, iodide, (2R,4S)-rel- (9CI) (CA INDEX NAME)

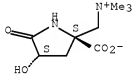
Relative stereochemistry.



IT 247166-12-7P, (-)-Dysibetaine 793682-74-3P
793682-86-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of dysibetaine and deoxydysibetaine and diastereoselective synthesis of 4-epi-dysibetaine via regioselective Mannich reaction and diastereoselective hydroxylation)

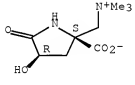
RN 247166-12-7 CAPLUS
CN 2-Pyrrolidinemethanaminium, 2-carboxy-4-hydroxy-N,N,N-trimethyl-5-oxo-, inner salt, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



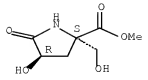
RN 793682-74-3 CAPLUS
CN 2-Pyrrolidinemethanaminium, 2-carboxy-4-hydroxy-N,N,N-trimethyl-5-oxo-, inner salt, (2R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 793682-86-7 CAPLUS
CN D-Proline, 4-hydroxy-2-(hydroxymethyl)-5-oxo-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

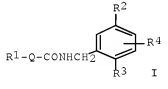


RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 27 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:331906 CAPLUS Full-text
DN 140:339636
TI Preparation of amino acid benzylamide derivatives as thrombin inhibitors
IN Staas, Donnette D.; Lyle, Terry A.; Williams, Peter D.; Sanderson, Philip E. J.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004032834	A2	20040422	WO 2003-US30867	20030930
WO 2004032834	A3	20040610		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003299901	A1	20040504	AU 2003-299901	20030930
PRAI US 2002-415976P	P	20021004		
WO 2003-US30867	W	20030930		

OS MARPAT 140:339636
GI



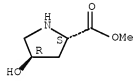
AB Compds. I [Q-CO is proline substituted by F, N3, NH2, OH or alkyl or 3,4-dehydroproline; R1 is acyl, including (un)substituted 2-azetidinecarbonyl, 2-pyrrolecarbonyl, 2-piperidinecarbonyl, or 9-hydroxy-9-fluorenecarbonyl; R2, R4 are H, halo, (cyclo)alkyl, CF3, OCF3, alkoxy or cyano; R3 is a 5-membered

heteroaryl ring having 2-4 heteroatoms (at least 2 of which are N and at most 1 is S or O) or a 6-membered heteroaryl ring having 1-2 N atoms; the rings may be substituted by alkyl or halogen] or their pharmaceutically-acceptable salts were prepared as thrombin inhibitors. Thus, 4-methyl-D-leucyl-N-[5-chloro-2-(1H-tetrazol-1- yl)benzyl]-4,4-difluoroprolinamide (1) was prepared via peptide coupling reactions mediated by EDC and HOAT in DMF. Tablets containing 1 were prepared

IT 40216-83-9P 102195-80-2P 114676-59-4P
256487-77-1P 481704-21-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Thrombin inhibitors)

RN 40216-83-9 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

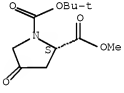
Absolute stereochemistry. Rotation (-).



● HCl

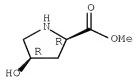
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 114676-59-4 CAPLUS
CN D-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

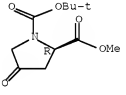
Absolute stereochemistry. Rotation (+).



● HCl

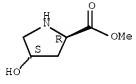
RN 256487-77-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 481704-21-6 CAPLUS
CN D-Proline, 4-hydroxy-, methyl ester, hydrochloride, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



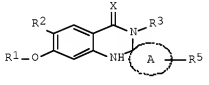
● HCl

L31 ANSWER 28 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:220329 CAPLUS Full-text
DN 140:270870
TI Preparation of quinazolinone derivatives as inosine 5'-monophosphate dehydrogenase inhibitors with therapeutic uses
IN Haughan, Alan Findlay; Buckley, George Martin; Davies, Natasha; Dyke, Hazel Joan; Hannah, Duncan Robert; Morgan, Trevor; Richard, Marianna Dilani; Sharpe, Andrew; Williams, Sophie Caroline
PA Celltech R & D Limited, UK
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2

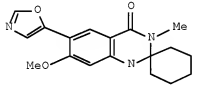
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004022554	A1	20040318	WO 2003-GB3878	20030905
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003263323	A1	20040329	AU 2003-263323	20030905
PRAI	GB 2002-20813	A	20020907		
	GB 2002-29186	A	20021214		
	GB 2003-12775	A	20030604		
	WO 2003-GB3878	W	20030905		

OS MARPAT 140:270870
GI



I



II

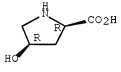
AB Quinazolinones and quinazolinethiones (shown as I; variables defined below; e.g. II) and the salts, solvates, hydrates, tautomers, isomers or N-oxides thereof are claimed. Compds. I are potent inhibitors of IMP dehydrogenase (IMPDH); each of the 118 examples inhibit IMPDH with IC50 ≤5 μM. For I: X is O or S; R1 is an aliphatic, cycloaliph. or cycloalkyl-alkyl-; R2 is an (un)substituted heteroarom. group or a -CN group; R3 is -(Alk1)mL1(Alk2)nR4 (m and n are each 0 or 1; Alk1 and Alk2 are each an (un)substituted aliphatic or heteroaliph. chain; L1 is a covalent bond or a linker atom or group; and R4 is H or an (un)substituted cycloaliph., heterocycloaliph., aromatic or heteroarom. group). A is an (un)substituted cycloaliph. or heterocycloaliph. group optionally fused to an (un)substituted aryl or heteroaryl group; R5, which may be attached to any available C or N atom present in the cycloaliph. or heterocycloaliph., or where fused, aryl or heteroaryl group, is a group -(Alk3)tL2(Alk4)vR6 (t and v are each 0 or 1; Alk3 and Alk4 are each an (un)substituted aliphatic or heteroaliph. chain; L2 is a covalent bond or a linker atom or group; and R6 is a H or halogen atom or a -CN group or an

(un)substituted cycloaliph., heterocycloaliph., aromatic or heteroarom. group). Although the methods of preparation are not claimed, 118 example prepns. are included. For example, II was prepared in 60 % yield from 2-amino-4-methoxy-N-methyl-5- (oxazol-5-yl)benzamide, MgSO4 and PTSA in CH2Cl2 to which cyclohexanone was added.

IT 2584-71-6, cis-4-Hydroxy-D-proline 102195-80-2 256487-77-1, 1-tert-Butyl 2-methyl (2R)-4-oxopyrrolidine-1,2-dicarboxylate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolinone derivs. as IMP dehydrogenase inhibitors with therapeutic uses)

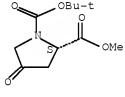
RN 2584-71-6 CAPLUS
CN D-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



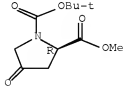
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 256487-77-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2R)- (CA INDEX NAME)

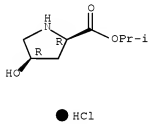
Absolute stereochemistry.



IT 672301-31-4P, Isopropyl (4R)-4-hydroxy-D-prolinate hydrochloride
672301-33-6P, 1-tert-Butyl 2-isopropyl (2R)-4-oxopyrrolidine-1,2-

dicarboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinone derivs. as IMP dehydrogenase inhibitors with therapeutic uses)
RN 672301-31-4 CAPLUS
CN D-Proline, 4-hydroxy-, 1-methylethyl ester, hydrochloride, (4R)- (9CI) (CA INDEX NAME)

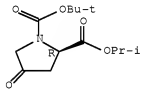
Absolute stereochemistry.



● HCl

RN 672301-33-6 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-(1-methylethyl) ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



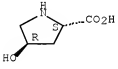
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 29 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:166390 CAPLUS Full-text
DN 140:357593
TI Synthesis of 2',3'-dideoxy-2'-difluoromethyl azanucleosides
AU Qiu, Xiao-Long; Qing, Feng-Ling
CS Key Laboratory of Organofluorine Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China
SO Synthesis (2004), (3), 334-340
CODEN: SYNTBF; ISSN: 0039-7881
PB Georg Thieme Verlag
DT Journal
LA English
OS CASREACT 140:357593
AB Me (2S,4S)-N-tert-butoxycarbonyl-4-difluoromethylpyroglutamate (I) was synthesized from trans-4-hydroxy-L-proline. I was converted to (5S,3S)-N-benzyloxycarbonyl-5-tert-butyldimethylsilyloxymethyl-3- difluoromethyl-2-

pyrrolidone over four steps in 66% yield, which was used as a key intermediate for the synthesis of 2',3'-dideoxy-2'-difluoromethyl azanucleosides.

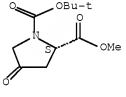
IT 51-35-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of 2',3'-dideoxy-2'-difluoromethyl azanucleosides starting from (2S,4S)-N-tert-butoxycarbonyl-4-difluoromethylpyroglutamate)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 2',3'-dideoxy-2'-difluoromethyl azanucleosides starting from (2S,4S)-N-tert-butoxycarbonyl-4-difluoromethylpyroglutamate)
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

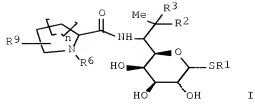


RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 30 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:162704 CAPLUS Full-text
DN 140:199635
TI Preparation of lincomycin thio glycoside derivatives possessing antibacterial activity
IN Lewis, Jason; Patel, Dinesh V.; Kumar, Anandan S.; Gordeev, Mikhail F.
PA Vicuron Pharmaceuticals, Inc., USA; Anandan, Sampath K.
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004016632	A2	20040226	WO 2003-US25820	20030815

```
     WO 2004016632        A3    20040624
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2493799           A1    20040226    CA 2003-2493799          20030815
     AU 2003265475        A1    20040303    AU 2003-265475           20030815
     EP 1529052           A2    20050511    EP 2003-788609           20030815
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     CN 1681832           A     20051012    CN 2003-821293           20030815
     JP 2006504673        T     20060209    JP 2004-529541           20030815
     BR 2003013725        A     20060613    BR 2003-13725            20030815
     NZ 538141            A     20070629    NZ 2003-538141           20030815
     CA 2528596           A1    20050127    CA 2004-2528596          20040617
     WO 2005007665        A2    20050127    WO 2004-US19497          20040617
     WO 2005007665        A3    20050818
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     EP 1654268           A2    20060510    EP 2004-785949           20040617
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     BR 2004011537        A     20060801    BR 2004-11537            20040617
     JP 2007528360        T     20071011    JP 2006-517386           20040617
     MX 2005PA01689       A     20050527    MX 2005-PA1689           20050211
     NO 2005001289        A     20050509    NO 2005-1289             20050314
     MX 2005PA14064       A     20060711    MX 2005-PA14064          20051219
PRAI US 2002-403770P      P     20020815
     US 2003-479502P      P     20030617
     US 2003-642807       A     20030815
     WO 2003-US25820      W     20030815
     US 2004-777455       A     20040211
     WO 2004-US19497      W     20040617
OS   MARPAT 140:199635
GI
```



I

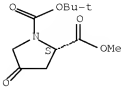
AB Lincomycin thio glycoside derivs. I, wherein R1 is alkyl; R2 and R3 are independently H, alkyl, hydroxy, fluoro, or cyanoalkyl or one of R2 and R3 is = NOR7 and the other is absent, or one of R2 and R3 is = CH2 and the other is absent, with the proviso that both R2 and R3 are not H; when one of R2 and R3 is fluoro, the other is not hydrogen or hydroxy; and when one of R2 and R3 is hydroxy, the other is not fluoro, hydrogen, or hydroxy; R6 is selected from the group consisting of H, alkyl, hydroxyalkyl, -C(O)O-alkylen-cycloalkyl, -C(O)O-alkylene-substituted cycloalkyl,-C(O)O-alkyl, -C(O)O-substituted alkyl, -C(O)O-aryl, -C(O)O-substituted aryl, -C(O)O-heteroaryl, -C(O)O-substituted heteroaryl, -[C(O)O]p-alkyleneheterocycle, -[C(O)O]p-alkylene-substituted heterocycle, wherein p = 0-1; R7 is H or alkyl; R9 is hydrogen, alkyl, alkoxyalkoxy, cycloalkyl, alkoxyalkoxy, substituted oxygen, substituted nitrogen, halogen, Ph, substituted Ph, -(CH2)m-OH, -(CH2)m-NR4R5, -alkylene-Ra where Ra is monofluorophenyl and monochlorophenyl, and branched chain isomers thereof wherein m is an integer of from 1 to 8 inclusive and R4 and R5 are H or alkyl; n is 1 or 2; are disclosed. These lincomycin derivs. exhibit antibacterial activity. As the compds. of the subject invention exhibit potent activities against bacteria, including gram pos. organisms, they are useful antimicrobial agents. Methods of synthesis and of use of the compds. are also disclosed. Prodrugs, tautomers or pharmaceutically acceptable salts thereof; with the proviso that the compound of formula I has a min. inhibition concentration of 32 μg/mL or less against at least one of the organisms selected from the group consisting of Streptococcus pneumoniae, Staphylococcus aureus, Staphylococcus epidermidis, Enterococcus faecalis, Enterococcus faecium, Haemophilus influenzae, Moraxella catarrhalis, Escherichia coli, Bacteroides fragilis, Bacteroides thetaiotaomicron, and Clostridium difficile. Thus, 1-(4-n-propyl-N- methylpyrrolidin-2-yl)-N-[1-[3,4,5-trihydroxy-6-(methylthio)tetrahydropyran-2-yl]-2-methylprop-1-yl]acetamide was prepared and tested in mice as antibacterial agent.

IT 102195-80-2P 663614-79-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of lincomycin thio glycoside derivs. possessing antibacterial activity)

RN 102195-80-2 CAPLUS

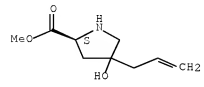
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



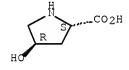
RN 663614-79-7 CAPLUS
CN L-Proline, 4-hydroxy-4-(2-propenyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 51-35-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of lincomycin thio glycoside derivs. possessing antibacterial activity)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 31 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:60463 CAPLUS Full-text
DN 140:111265
TI Preparation of azetidinecarboxylic acid and pyrrolidinecarboxylic acid N-hydroxyamide derivatives as antibacterial agents
IN Raju, Bore G.; Odowd, Hardwin; Gao, Hongwu; Patel, Dinesh V.; Trias, Joaquim
PA Vicuron Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004007444	A2	20040122	WO 2003-US21838	20030711
WO 2004007444	A3	20040910		

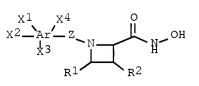
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

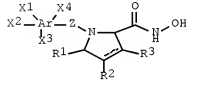
CA 2492035	A1	20040115	CA 2003-2492035	20030711
AU 2003267991	A1	20040202	AU 2003-267991	20030711
EP 1539744	A2	20050615	EP 2003-748939	20030711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005536510	T	20051202	JP 2004-521744	20030711
US 20080058304	A1	20080306	US 2003-617616	20030711
PRAI US 2002-394862P	P	20020711		
WO 2003-US21838	W	20030711		

OS MARPAT 140:111265

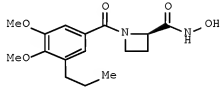
GI



I



II



III

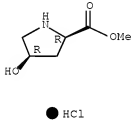
AB Title compds. I or II [wherein A = (hetero)aryl; X1-X4 = independently H, (halo)alkyl, (halo)alkylthio, (halo)alkylsulfinyl, (halo)alkylsulfonyl, hydroxy(alkyl), alkoxy(alkyl), haloalkoxy, alkenyl, alkenyloxy(alkyl), alkynyl(oxy), NO2, halo, cycloalkyl(alkyl), arylalkoxy(alkyl), haloarylalk(yn)yl, alkylsilylalkynyl, aryl, aminocarbonylalkyl, carboxylate, carboxy, carboxamido, or (un)substituted heterocyclyl; R1 and R3 = independently H, (halo)alkyl, hydroxyalkyl, alkenyl, alkynyl, cycloalkyl, halo, OH, alkoxy, or (un)substituted (hetero)aryl or aryloxy; R2 = H, (halo)alkyl, hydroxyalkyl, alkenyl, alkynyl, cycloalkyl, halo, OH, alkoxy, or (un)substituted (hetero)aryl or aryloxy; ; Z = CH2 or CO; and pharmaceutically acceptable salts, tautomers, and prodrugs thereof] were prepared as inhibitors of UDP-3-O-(R-3-hydroxymyristoyl)-N-acetylglucosamine deacetylase (LpxC deacetylase), an enzyme present in gram neg. bacteria (no data). For example, azetidine-2R-carboxylic acid Me ester hydrochloride salt was coupled with 3,4-dimethoxy-5-propylbenzoic acid in DMF to give the benzoylazetidinyl derivative (81%). The ester was treated with aqueous hydroxylamine in dioxane to afford III. Preferred compds. of the invention have MIC ≤ 128 μg/mL against at least one of a specified list of bacteria (no data). Thus, I, II, and their pharmaceutical compns. are useful as antimicrobials and antibiotics (no data).

IT 114676-59-4P, (2R,4R)-4-Hydroxypyrrolidine-2-carboxylic acid methyl ester hydrochloride 256487-77-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

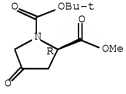
(Reactant or reagent)
(intermediate; preparation of azetidinecarboxylic acid and pyrrolidinecarboxylic acid N-hydroxyamide derivs. as antibacterial agents)
RN 114676-59-4 CAPLUS
CN D-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



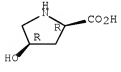
RN 256487-77-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 2584-71-6, (2R,4R)-4-Hydroxypyrrolidine-2-carboxylic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azetidinecarboxylic acid and pyrrolidinecarboxylic acid N-hydroxyamide derivs. as antibacterial agents)
RN 2584-71-6 CAPLUS
CN D-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

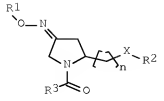


L31 ANSWER 32 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:41436 CAPLUS Full-text

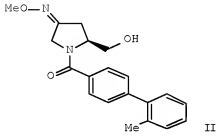
DN 140:93917
TI Preparation of pyrrolidine derivatives as oxytocin antagonists
IN Jorand-Lebrun, Catherine; Dorbais, Jerome; Quattropani, Anna; Schwarz, Matthias; Valognes, Delphine
PA Applied Research Systems Ars Holding N.V., Neth. Antilles
SO PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004005249	A1	20040115	WO 2003-EP50286	20030704
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2487532	A1	20040115	CA 2003-2487532	20030704
	AU 2003254498	A1	20040123	AU 2003-254498	20030704
	BR 2003012586	A	20050412	BR 2003-12586	20030704
	EP 1532109	A1	20050525	EP 2003-762692	20030704
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1678576	A	20051005	CN 2003-820401	20030704
	JP 2005533828	T	20051110	JP 2004-518783	20030704
	MX 2005PA00326	A	20050331	MX 2005-PA326	20050105
	NO 2005000612	A	20050203	NO 2005-612	20050203
	US 20060004020	A1	20060105	US 2005-518543	20050711
	US 7115754	B2	20061003		
	HK 1076107	A1	20071207	HK 2005-110274	20051117
PRAI	EP 2002-100784	A	20020705		
	WO 2003-EP50286	W	20030704		

OS MARPAT 140:93917
GI



I



II

AB The title compds. I [R1 = H or alkyl; R2 = H, alkyl, (substituted)aryl, (substituted)heteroaryl, etc.; R3 = aryl or heteroaryl; X = O or (substituted)amino; n = 1-3] were prepared as oxytocin antagonists for the prevention and/or treatment of preterm labor, premature birth or dysmenorrhea. Thus, reaction of 1-tert-butyl-2-Me (2S)-4-(methoxyimino)- 1,2-pyrrolidine-dicarboxylate (preparation given) with 2'-methyl[1,1'-biphenyl]- 4-carboxylic acid followed by hydrolysis and reduction gave compound II. The latter inhibits oxytocin mediated Ca2+-mobilization with IC50 = 0.03 μM. Pharmaceutical compns. containing I are described.

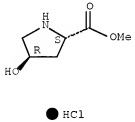
IT 40216-83-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolidine derivs. as oxytocin antagonists)

RN 40216-83-9 CAPLUS

CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 84348-37-8P

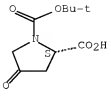
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolidine derivs. as oxytocin antagonists)

RN 84348-37-8 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester,

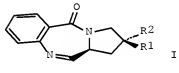
(2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



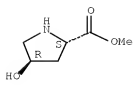
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 33 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:746220 CAPLUS Full-text
DN 139:381463
TI The synthesis and biological activity of C2-fluorinated pyrrolo[2,1-c][1,4]benzodiazepines
AU O'Neil, Ian A.; Thompson, Stephen; Kalindjian, S. Barret; Jenkins, Terence C.
CS Department of Chemistry, University of Liverpool, Liverpool, L69 7ZD, UK
SO Tetrahedron Letters (2003), 44(42), 7809-7812
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 139:381463
GI



AB The novel C2-fluorinated pyrrolobenzodiazepines I (R1 = F, H; R2 = H, F) have been prepared from com. available trans-hydroxyproline via Staudinger/aza-Wittig method in good overall yield and were screened for in vitro cytotoxicity against a number of cancer cell lines. The 2R-fluoro isomer I (R1 = H, R2 = F) exhibits an activity of 76 nM against the CH1 cell line.
IT 40216-83-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of C2-fluorinated pyrrolobenzodiazepines)
RN 40216-83-9 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

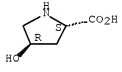
Absolute stereochemistry. Rotation (-).



● HCl

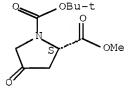
IT 51-35-4P 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of C2-fluorinated pyrrolobenzodiazepines)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

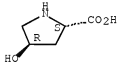


RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 34 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:449496 CAPLUS Full-text
DN 140:181719
TI Practical synthesis of 4-cis-hydroxy-L-proline
AU Tamaki, Makoto; Arai, Shun-ichi; Hagi, Yoshiko; Yamada, Makoto; Uchida, Akira; Han, Guoxia
CS Department of Chemistry and Biomolecular Science, Toho University, Funabashi, Chiba, 274-8510, Japan
SO Peptide Science (2003), Volume Date 2002, 39th, 165-168
CODEN: PSCIFQ; ISSN: 1344-7661

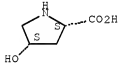
PB Japanese Peptide Society
DT Journal
LA English
OS CASREACT 140:181719
AB Efficient asym. synthesis of 4-cis-hydroxy-L-proline (cHyp) was performed via diastereoselective reduction of N-tert.-butoxycarbonyl-4-keto-L-proline esters. High diastereomeric excesses (d.e. >95%) and high overall yields were achieved.
IT 51-35-4, L-Hydroxyproline
RL: RCT (Reactant); RACT (Reactant or reagent)
(practical synthesis of 4-cis-hydroxy-L-proline)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



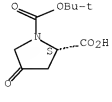
IT 618-27-9P, 4-cis-Hydroxy-L-proline 84348-37-8P, N-tert.-Butoxycarbonyl-4-keto-L-proline 102195-80-2P 166410-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(practical synthesis of 4-cis-hydroxy-L-proline)
RN 618-27-9 CAPLUS
CN L-Proline, 4-hydroxy-, (4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



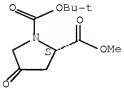
RN 84348-37-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



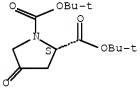
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



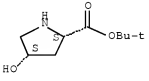
RN 166410-05-5 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, bis(1,1-dimethylethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 659747-06-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(practical synthesis of 4-cis-hydroxy-L-proline)
RN 659747-06-5 CAPLUS
CN L-Proline, 4-hydroxy-, 1,1-dimethylethyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 35 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:736247 CAPLUS Full-text
DN 137:263299
TI Preparation of substituted N-(arylsulfonyl)proline derivatives as potent cell adhesion inhibitors

IN Doherty, George; Lin, Linus S.; Hagmann, William K.; Kamenecka, Theodore M.; Yang, Ginger Xu-Qiang; Chang, Linda L.; Shah, Shrenik K.; Mumford, Richard A.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 125 pp.
CODEN: PIXXD2

DT Patent

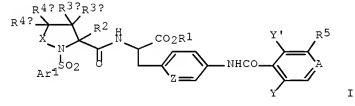
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002074761	A1	20020926	WO 2002-US8060	20020314
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002255775	A1	20021003	AU 2002-255775	20020314
	AU 2002255775	B2	20070104		
	JP 2004526733	T	20040902	JP 2002-573770	20020314
	CA 2439952	A1	20020926	CA 2002-2439952	20020315
	EP 1389200	A1	20040218	EP 2002-725194	20020315
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 20040102478	A1	20040527	US 2003-472303	20030917
	US 6943180	B2	20050913		
PRAI	US 2001-277230P	P	20010320		
	WO 2002-US8060	W	20020314		

OS MARPAT 137:263299

GI



I

AB Compds. I [A is N or N:O; Y, Y' = halo, alkyl, alkoxy; R1 = H, alkyl, arylalkyl; R2 = H, alkyl; R3a, R3b is H, alkyl, alkenyl, cycloalkyl, OH, CO2H or ester, (hetero)aryl; one of these groups may also be OH, carboxamido, amino, etc.; R4a and R4b are oxo; R5 = H, OH, MeO, NH2; Ar1 = (un)substituted Ph, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, or triazinyl; X = null, CH2, CH2CH2; Z = CH or N] or their pharmaceutically-acceptable salts are claimed as antagonists of VLA-4 and/or $\alpha 4\beta 7$ integrin and thus useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. These compds. may be formulated into pharmaceutical comps. and are suitable for use

in the treatment of asthma, inflammatory bowel disease, multiple sclerosis, etc. Thus, N-[N-(3,5-dichlorobenzenesulfonyl)-2-methyl-L- prolyl]-4-[(3',5'-dichloroisonicotinoyl)amino]-L-phenylalanine Me ester was prepared via peptide coupling in solution

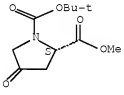
IT 102195-80-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted (arylsulfonyl)proline derivs. as potent cell adhesion inhibitors)

RN 102195-80-2 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



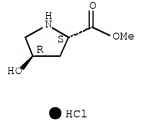
IT 40216-83-9P 131105-20-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted (arylsulfonyl)proline derivs. as potent cell adhesion inhibitors)

RN 40216-83-9 CAPLUS

CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

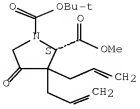


● HCl

RN 131105-20-9 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-3,3-di-2-propenyl-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (9CI) (CA INDEX NAME)

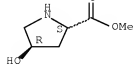
Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 36 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:385719 CAPLUS Full-text
DN 137:295204
TI Stereoselective synthesis of BOC-protected cis and trans-4-trifluoromethylprolines by asymmetric hydrogenation reactions
AU Del Valle, Juan R.; Goodman, Murray
CS Department of Chemistry and Biochemistry, University of California, San Diego, La Jolla, CA, 92093, USA
SO Angewandte Chemie, International Edition (2002), 41(9), 1600-1602
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
OS CASREACT 137:295204
AB Stereocontrolled synthesis of cis and trans-substituted prolines by a divergent approach, leads to the preparation of cis-(4S)- and trans-(4R)-trifluoromethyl-L-proline from hydroxyproline. The compds. thus prepared were (2S,4S)-4-(trifluoromethyl)-1,2-pyrrolidinedicarboxylic acid 1-(1,1-dimethylethyl) 2-Me ester and (2S,4R)-4-(trifluoromethyl)-1,2-pyrrolidinedicarboxylic acid 1-(1,1-dimethylethyl) 2-Me ester (I). The key pyrroline intermediates were subjected to hydrogenation to afford products in high diastereomeric excess. Reduction of 2,3-dihydro-2- (hydroxymethyl)-4-(trifluoromethyl)-1H-Pyrrole-1-carboxylic acid 1,1-dimethylethyl ester using [(1,2,5,6-η)-1,5- cyclooctadiene](pyridine)(tricyclohexylphosphine)iridium tetrafluoroborate (Crabtree catalyst) gave I as the major product.
IT 1499-56-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(stereoselective preparation of (2S,4S)-4-(trifluoromethyl-1,2-pyrrolidinedicarboxylate and (2S,4R)-4-(trifluoromethyl-1,2-pyrrolidinedicarboxylate via stereoselective hydrogenation)
RN 1499-56-5 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



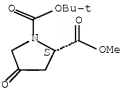
IT 102195-80-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of (2S,4S)-4-(trifluoromethyl-1,2-pyrrolidinedicarboxylate and (2S,4R)-4-(trifluoromethyl-1,2-pyrrolidinedicarboxylate via stereoselective hydrogenation)

RN 102195-80-2 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 37 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:730700 CAPLUS Full-text

DN 135:288686

TI Synthesis of substituted N-acyl/sulfonyl pyrrolidine derivatives as bax inhibitors

IN Halazy, Serge; Schwarz, Matthias; Quattropani, Anna; Thomas, Russel; Baxter, Anthony; Scheer, Alexander

PA Applied Research Systems ARS Holding N.V., Neth. Antilles

SO PCT Int. Appl., 219 pp.
CODEN: PIXXD2

DT Patent

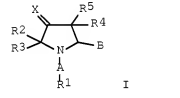
LA English

FAN.CNT 1

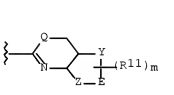
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001072705	A1	20011004	WO 2001-EP3171	20010320
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2401242	A1	20011004	CA 2001-2401242	20010320
	EP 1268419	A1	20030102	EP 2001-929439	20010320
	EP 1268419	B1	20060621		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001009900	A	20030603	BR 2001-9900	20010320
	HU 2003000994	A2	20030828	HU 2003-994	20010320
	JP 2003528854	T	20030930	JP 2001-570618	20010320
	NZ 521060	A	20040528	NZ 2001-521060	20010320
	EE 200200555	A	20040615	EE 2002-555	20010320

	AT 330940	T	20060715	AT 2001-929439	20010320
	PT 1268419	T	20060831	PT 2001-929439	20010320
	ES 2261404	T3	20061116	ES 2001-929439	20010320
	ZA 2002006799	A	20030826	ZA 2002-6799	20020826
	IN 2002MN01184	A	20040605	IN 2002-MN1184	20020828
	BG 107132	A	20030430	BG 2002-107132	20020923
	NO 2002004598	A	20021125	NO 2002-4598	20020925
	NO 323969	B1	20070723		
	MX 2002PA09382	A	20030128	MX 2002-PA9382	20020925
	US 20030212012	A1	20031113	US 2003-239912	20030210
	US 7211601	B2	20070501		
	HK 1054031	A1	20070504	HK 2003-106333	20030905
	IN 2005MN01049	A	20060519	IN 2005-MN1049	20050927
PRAI	EP 2000-106034	A	20000327		
	WO 2001-EP3171	W	20010320		
	IN 2002-MN1184	A3	20020828		
OS	MARPAT 135:288686				

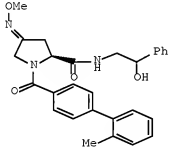
GI



I



II



III

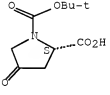
AB Title compds. I [X = CR6R7, NOR6, NNR6R7; A = C:O, C:OO, C:NH, C:ONH, C:SNH, S:O, S:ONH, CH; B = amide or II; Q = NR10, O, S; n = 0 - 2; Y, Z, E form together with the 2 C to which they are attached a 5-6 membered (hetero)aryl; R1 = alk(en/yn)yl, (hetero)aryl, cycloalkyl, acyl, etc.; R2-5 = H, halo, alkyl, alkoxy; R6-7 = H, alk(en/yn)yl, (thio)alkoxy, halogen, CN, NO2, acyl, alkoxycarbonyl, aminocarbonyl, (hetero)cycloalkyl, etc.; R11 = H, alk(en/yn)yl, OH, SH, etc. with some provisions] were prepared and used as bax inhibitors. Over 400 compds. were disclosed. E.g., (2S)-1-(tert-butoxycarbonyl)-4-(methoxyimino)-2- pyrrolidinecarboxylic acid (preparation given) was condensed with (S)-2-amino-1-phenylethanol (THF, i-BuOCOCl, -25°C - room temperature, 16 h) and the coupled product deprotected (DCM, HCl) to give the pyrrolidine. This intermediate was condensed with 4-(2-methylphenyl)benzoic acid (DMF, ClCOCOCl, Et3N, room temperature) to give a mixture of oxime ethers which were separated by chromatog. to give III. III had IC50 = 0.07 μM for the oxytocin receptor. I are useful in the treatment

and/or prevention of disease states mediated by oxytocin, including premature labor, premature birth and dysmenorrhea.

IT 84348-37-8P 102195-80-2P 364077-84-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of substituted N-acyl/sulfonyl pyrrolidine derivs. as bax inhibitors)

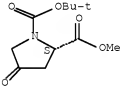
RN 84348-37-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



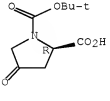
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 364077-84-9 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester, (2R)- (CA INDEX NAME)

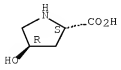
Absolute stereochemistry.



IT 51-35-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; synthesis of substituted N-acyl/sulfonyl pyrrolidine derivs. as bax inhibitors)

RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

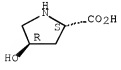
Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

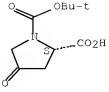
L31 ANSWER 38 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:311692 CAPLUS Full-text
DN 135:46401
TI γ-Functional prolines based on naturally occurring hydroxyproline
AU Tamaki, Makoto; Han, Guoxia; Hruby, Victor J.
CS Department of Chemistry, Toho University, Chiba, 274-8510, Japan
SO Peptide Science (2001), Volume Date 2000, 37th, 51-54
CODEN: PSCIFQ; ISSN: 1344-7661
PB Japanese Peptide Society
DT Journal
LA English
AB A symposium report. 4-Cis-Phenyl-L-proline was synthesized from 4-trans-hydroxy-L-proline by a regio- and diastereo-selective Grignard reaction with 4-keto-L-proline followed by hydrogenolysis. A high diastereomeric excess (d.e. >95%) and high overall yield was achieved. In addition, the procedures were applicable for the preparation of other 4-cis-aryl-L-prolines.
IT 51-35-4 84348-37-8 102195-80-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4-cis-arylprolines based on naturally occurring hydroxyproline using diastereo-selective Grignard reaction and hydrogenolysis)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



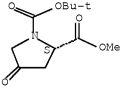
RN 84348-37-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



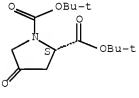
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 166410-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-cis-arylprolines based on naturally occurring hydroxyproline using diastereo-selective Grignard reaction and hydrogenolysis)
RN 166410-05-5 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, bis(1,1-dimethylethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

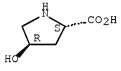


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 39 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:290359 CAPLUS Full-text
DN 135:46398
TI Synthesis of 4-cis-Phenyl-L-proline via Hydrogenolysis
AU Tamaki, Makoto; Han, Guoxia; Hruby, Victor J.
CS Department of Chemistry, University of Arizona, Tucson, AZ, 85721, USA
SO Journal of Organic Chemistry (2001), 66(10), 3593-3596
CODEN: JOCEAH; ISSN: 0022-3263

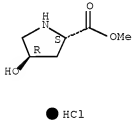
PB American Chemical Society
DT Journal
LA English
OS CASREACT 135:46398
AB The authors report the synthesis of 4-cis-phenyl-L-proline, beginning from 4-trans-hydroxy-L-proline. A key step involving a regio- and diastereoselective Grignard reaction was investigated. The reaction is capable of being scaled up for production
IT 51-35-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4-cis-phenyl-L-proline via regio- and diastereoselective Grignard reaction)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



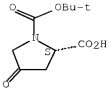
IT 40216-83-9P 84348-37-8P 102195-80-2P 166410-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-cis-phenyl-L-proline via regio- and diastereoselective Grignard reaction)
RN 40216-83-9 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



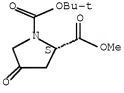
RN 84348-37-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



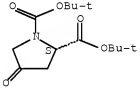
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 166410-05-5 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, bis(1,1-dimethylethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 40 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:97565 CAPLUS Full-text
DN 132:308609
TI A facile synthesis of (-)-cucurbitine
AU Paik, Seunguk; Kwak, Hyung Sub; Park, Tae Ho
CS Department of Industrial Chemistry, Keimyung University, Taegu, 704-701, S. Korea
SO Bulletin of the Korean Chemical Society (2000), 21(1), 131-132
CODEN: BKCSDE; ISSN: 0253-2964
PB Korean Chemical Society
DT Journal
LA English
OS CASREACT 132:308609

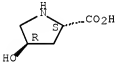
AB A practical stereoselective synthesis of cucurbitine is reported which uses trans-4-hydroxy-L-proline as chiral template, the Bucherer-Bergs reaction for the preparation of the diastereoselective spirohydantoin of 4-oxoprolinate and selective decarboxylation of the proline unit. The free amino and carboxylic groups of α-amino acid chains are essential for decarboxylation of intermediate spiroproline, which gives the pyrrolidine HCl salt (65%). Hydrolysis of pyrrolidine hydrochloride provided synthetic (-)-cucurbitine (60% after ion chromatog.).

IT 51-35-4, trans-4-Hydroxy-L-proline
RL: RCT (Reactant); RACT (Reactant or reagent)
(stereoselective synthesis of cucurbitine using a trans-hydroxy proline as a chiral template)

RN 51-35-4 CAPLUS

CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

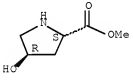


IT 1499-56-5P, trans-4-Hydroxy-L-proline, methyl ester
166410-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective synthesis of cucurbitine using a trans-hydroxy proline as a chiral template)

RN 1499-56-5 CAPLUS

CN L-Proline, 4-hydroxy-, methyl ester, (4R)- (CA INDEX NAME)

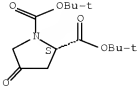
Absolute stereochemistry. Rotation (-).



RN 166410-05-5 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, bis(1,1-dimethylethyl) ester, (2S)- (9CI) (CA INDEX NAME)

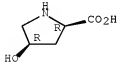
Absolute stereochemistry. Rotation (+).



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

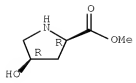
L31 ANSWER 41 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1999:780650 CAPLUS Full-text
DN 132:131776
TI Design, Synthesis, and Biological Evaluation of Matrix Metalloproteinase Inhibitors Derived from a Modified Proline Scaffold
AU Cheng, Menyan; De, Biswanath; Almstead, Neil G.; Pikul, Stanislaw; Dowty, Martin E.; Dietsch, Charles R.; Dunaway, C. Michelle; Gu, Fei; Hsieh, Lily C.; Janusz, Michael J.; Taiwo, Yetunde O.; Natchus, Michael G.; Hudlicky, Tomas; Mandel, Martin
CS Procter and Gamble Pharmaceuticals, Mason, OH, 45040, USA
SO Journal of Medicinal Chemistry (1999), 42(26), 5426-5436
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB The synthesis and structure-activity relationship (SAR) studies of a series of proline-based matrix metalloproteinase inhibitors are described. The data reveal a remarkable potency enhancement in those compds. that contain an sp2 center at the C-4 carbon of the ring relative to similar, saturated compds. This effect was noted in compds. that contained a functionalized oxime moiety or an exomethylene at C-4, and the potencies were typically <10 nM for MMP-3 and <100 nM for MMP-1. Comparisons were then made against compds. with similar functionality where the C-4 carbon was reduced to sp3 hybridization and the effect was typically an order of magnitude loss in potency. An X-ray structure was obtained for a stromelysin-inhibitor complex which provided insights into the SAR and selectivity trends observed within the series. In vitro intestinal permeability data for many compds. was also accumulated.
IT 2584-71-6, cis-4-Hydroxy-D-proline 114676-59-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(design, synthesis, and biol. evaluation of matrix metalloproteinase inhibitors derived from modified proline scaffold)
RN 2584-71-6 CAPLUS
CN D-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 114676-59-4 CAPLUS
CN D-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

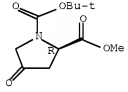
Absolute stereochemistry. Rotation (+).



● HCl

IT 256487-77-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(design, synthesis, and biol. evaluation of matrix metalloproteinase inhibitors derived from modified proline scaffold)
RN 256487-77-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

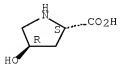


RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 42 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1998:120705 CAPLUS Full-text
DN 128:205099
TI Practical synthesis of Boc and Fmoc protected 4-fluoro and 4-difluoroprolines from trans-4-hydroxyproline
AU Demange, Luc; Menez, Andre; Dugave, Christophe
CS Dep. Ingenierie Etude Protelines, CEA/Saclay, Gif-sur-Yvette, 91191, Fr.
SO Tetrahedron Letters (1998), 39(10), 1169-1172
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 128:205099
AB Boc-cis-4-fluoro-L-proline and 4-difluoro-L-proline, usable in classical peptide synthesis, were obtained in resp. 71% (3 steps) and 65% (4 steps) overall yields from the readily available trans-4-hydroxy-L-proline Me ester. The corresponding fluorinated trans-isomer was isolated in 24% yield (5 steps). Transformation of Boc-protected compds. to their Fmoc-equivalent was performed in high yields.
IT 51-35-4, trans-4-Hydroxy-L-proline 40216-83-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of Boc and Fmoc protected fluoro and difluoroprolines from hydroxyproline)

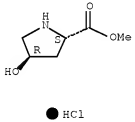
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



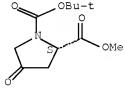
RN 40216-83-9 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of Boc and Fmoc protected fluoro and difluoroprolines from hydroxyproline)
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 43 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1996:577546 CAPLUS Full-text
DN 125:221442

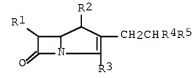
TI Preparation of 2-alkylpenem derivatives as intermediates for antibacterials

IN Ubukawa, Yukitoshi; Nishi, Koichi; Onoe, Hiroshi
PA Shionogi Seiyaku Kk, Japan

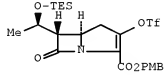
SO Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 08176155	A	19960709	JP 1994-317327	19941220
JP 3761096	B2	20060329		
PRAI JP 1994-317327		19941220		

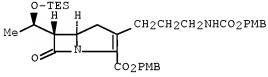
OS CASREACT 125:221442; MARPAT 125:221442
GI



I



II



III

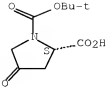
AB The title compds., e.g., I [R1 = H, organic radical; R2 = H, alkyl, alkoxy; R3 = (un)protected carboxy; R4, R5 = H, organic radical, or CR4R5 = part of a ring] are prepared via reacting a penem derivative with a leaving group in the 2 position with a boron derivative XYBR [R = (un)substituted alkyl; X, Y = organic radical, etc.] in an organic solvent containing palladium catalysts. Thus, penem triflate derivative II [TES = triethylsilyl, Tf = CF3-SO2, PMB = p-methoxybenzyl] (preparation given) was reacted with CH2:CH-CH2-NH-CO-O-PMB in THF containing 9-borabicyclo[3.3.1]nonane and [1,1-bis(diphenylphosphino)ferrocene]palladium(II) chloride , and 2N NaOH at 60° to give 85% the title compound III.

IT 84348-37-8P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-alkylpenem derivs. as intermediates for antibacterials)

RN 84348-37-8 CAPLUS

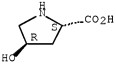
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



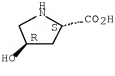
IT 51-35-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-alkylpenem derivs. as intermediates for antibacterials)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



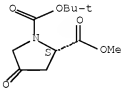
L31 ANSWER 44 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1996:93850 CAPLUS Full-text
DN 124:261642
TI A short synthesis of phenyl kainoid
AU Horikawa, Manabu; Shirahama, Haruhisa
CS Fac. Sci., Hokkaido Univ., Sapporo, 060, Japan
SO Synlett (1996), (1), 95-6
CODEN: SYNLES; ISSN: 0936-5214
PB Thieme
DT Journal
LA English
OS CASREACT 124:261642
AB A Ph kainoid, (2S,3R,4S)-3-carboxymethyl-4-phenylproline, was synthesized from (2S,4R)-4-hydroxyproline through the oxidative radical addition of malonic monoester to Δ3-dehydroproline derivative using manganese(III) acetate.
IT 51-35-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of (carboxymethyl)phenylproline)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

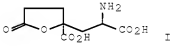


IT 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of (carboxymethyl)phenylproline)
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



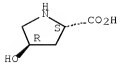
L31 ANSWER 45 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:961657 CAPLUS Full-text
DN 124:146780
TI Asymmetric synthesis of lycoperdic acid
AU Yoshifuji, Shigeyuki; Kaname, Mamoru
CS Fac. Pharmaceutical Sci., Hokuriku Univ., Kanazawa, 920-11, Japan
SO Chemical & Pharmaceutical Bulletin (1995), 43(10), 1617-20
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
OS CASREACT 124:146780
GI



I

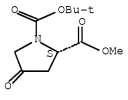
AB Lycoperdic acid (I) isolated from the mushroom Lycoperdon perlatum, was synthesized from trans-4-hydroxy-L-proline by a six-step route involving samarium diiodide (SmI2)-mediated formation of the spiro-γ-lactone and ruthenium tetroxide (RuO4) oxidation of the L-proline ring system to the L-pyroglutamic acid moiety. Lycoperdic acid was found to undergo hydrolysis of the γ-lactone ring in 1 N hydrochloric acid at 23°, giving an equilibrated mixture of I and the corresponding hydroxy acid.
IT 51-35-4, Hydroxyproline
RL: RCT (Reactant); RACT (Reactant or reagent)
(asym. synthesis of lycoperdic acid from hydroxyproline)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 102195-80-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis of lycoperdic acid from hydroxyproline)
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S)- (CA INDEX NAME)

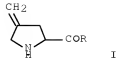
Absolute stereochemistry. Rotation (-).



L31 ANSWER 46 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:492118 CAPLUS Full-text
DN 122:240442
TI Preparation of 4-methyleneproline derivatives as agrochemical fungicides
IN Cox, John Michael; Pearson, David Philip John; Kozakiewicz, Anthony Marian; Youle, David; Whittingham, William Guy; Heaney, Stephen Paul
PA Zeneca Ltd., UK
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9504718	A1	19950216	WO 1994-GB1497	19940711
	W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9471293	A	19950228	AU 1994-71293	19940711
	ZA 9405259	A	19950206	ZA 1994-5259	19940719
PRAI	GB 1993-16162	A	19930804		
	WO 1994-GB1497	W	19940711		

OS MARPAT 122:240442
GI



I

AB Fungicidal compns. comprising [I; R = OH, (substituted) alkoxy, PhO, phenylalkoxy, alkenyloxy, NR5R6, peptide residue; R5, R6 = H, (substituted) alkyl, Ph, phenylalkyl], are claimed. Thus, (2S,4R)-N-tert-butoxycarbonyl-4-hydroxy-2-pyrrolidinecarboxylic acid (preparation given) was stirred with CrO3 in pyridine/CH2Cl2 to give (2S)-N-tert-butoxycarbonyl-4-oxo-2-pyrrolidinecarboxylic acid. This in THF was added to a mixture of methyltriphenylphosphonium bromide and NaH in THF and the mixture was stirred at 50° for 17 h to give (2S)-N-tert-butoxycarbonyl-4-methylene-2-pyrrolidinecarboxylic acid, which was stirred in aqueous HCO2H to give (2S)-4-methylene-2-pyrrolidinecarboxylic acid. The latter as a 100 ppm formulation gave complete control of Plasmopora viticola on tomato plants.

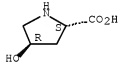
IT 51-35-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4-methyleneproline derivs. as agrochem. fungicides)

RN 51-35-4 CAPLUS

CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



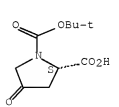
IT 84348-37-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-methyleneproline derivs. as agrochem. fungicides)

RN 84348-37-8 CAPLUS

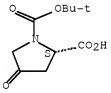
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



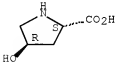
AN 1994:192244 CAPLUS Full-text
DN 120:192244
TI Proline 4-hydroxylase: stereochemical course of the reaction
AU Baldwin, Jack E.; Field, Robert A.; Lawrence, Christopher C.; Merritt, Kirsten D.; Schofield, Christopher J.
CS Dyson Perrins Lab., Oxford, OX1 3QY, UK
SO Tetrahedron Letters (1993), 34(46), 7489-92
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
AB The stereochem. course of the hydroxylation of (S)-proline by proline 4-hydroxylase from Streptomyces griseoviridus P8648 has been investigated using (2S, 4S)-[4-2H1]-proline and (2S, 4R)-[4-2H1]-proline and found to occur with retention of stereochem. at C-4 of proline.
IT 84348-37-8P, N-tert-Butoxycarbonyl-4-oxo-L-proline
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate in preparation of deuterium-labeled proline derivs.)
RN 84348-37-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



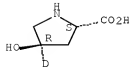
IT 51-35-4, Hydroxyproline 153790-70-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation via hydroxylation of labeled proline with proline hydroxylase, stereochem. of)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

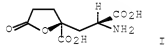


RN 153790-70-6 CAPLUS
CN L-Proline-4-d, 4-hydroxy-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



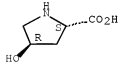
L31 ANSWER 48 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1993:148006 CAPLUS Full-text
DN 118:148006
TI First synthesis of lycoperdic acid
AU Kaname, Mamoru; Yoshifuji, Shigeyuki
CS Sch. Pharm., Hokuriku Univ., Kanazawa, 920-11, Japan
SO Tetrahedron Letters (1992), 33(52), 8103-4
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 118:148006
GI



I

AB The title compound (I) was synthesized from trans-4-hydroxy-L-proline.
IT 51-35-4, trans-4-Hydroxy-L-proline
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification, butoxycarbonylation, and oxidation of, protected ketone from)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

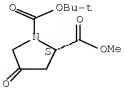
Absolute stereochemistry.



IT 102195-80-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reductive cycloaddn. of, with Me acrylate, stereochem. of samarium diiodide-promoted)
RN 102195-80-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) 2-methyl

ester, (2S)- (CA INDEX NAME)

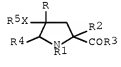
Absolute stereochemistry. Rotation (-).



L31 ANSWER 49 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:651782 CAPLUS Full-text
DN 117:251782
TI Preparation of 2-(ar)alkyl-4-hydroxyprolines and analogs
IN Noe, Christian R.; Knollmueller, Max
PA Austria
SO Austrian, 7 pp.
CODEN: AUXXAK
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	AT 395007	B	19920825	AT 1990-730	19900329
	AT 9000730	A	19920115		
PRAI	AT 1990-730		19900329		

OS MARPAT 117:251782
GI



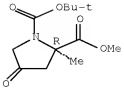
I

AB Title compds. [enantiomeric I; R = H; R1 = H, (ar)alkyl, aryl, alkoxycarbonyl, etc.; R2 = (ar)alkyl; R3 = OH, (ar)alkoxy, amino acid residue; R4 = H, (ar)alkyl, aryl, alkoxycarbonyl, etc.; R5 = H, (ar)alkyl, aryl, arylsulfonyl; RR5 = bond; X = O, N, NH, S] were prepared Thus, hydroxyproline Me ester was converted in 5 steps to, e.g., (2R)-N-[(1,1-dimethylethoxy)carbonyl]-2-methyl-4-oxoproline Me ester.

IT 144527-34-4P 144527-35-5P 144548-87-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

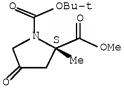
RN 144527-34-4 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 2-methyl-4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



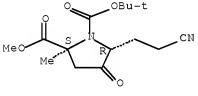
RN 144527-35-5 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 2-methyl-4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



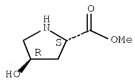
RN 144548-87-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 5-(2-cyanoethyl)-2-methyl-4-oxo-, 1-(1,1-dimethylethyl) 2-methyl ester, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



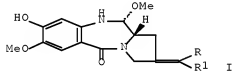
IT 40216-83-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of (ar)alkylhydroxyproline)
RN 40216-83-9 CAPLUS
CN L-Proline, 4-hydroxy-, methyl ester, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

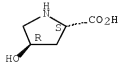
L31 ANSWER 50 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1983:422186 CAPLUS Full-text
DN 99:22186
OREF 99:3577a,3580a
TI Studies on tomaymycin. II. Total syntheses of the antitumor antibiotics, E- and Z-tomaymycins
AU Tozuka, Zenzaburo; Takasugi, Hisashi; Takaya, Takao
CS Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, Japan
SO Journal of Antibiotics (1983), 36(3), 276-82
CODEN: JANTAJ; ISSN: 0021-8820
DT Journal
LA English
GI



I II

AB Naturally occurring E-tomaymycin (I, R = Me, R1 = H) and its Z-isomer (I, R = H, R1 = Me) were prepared from hydroxyproline. Unsatd. analogs II (R2 = OH, R3 = H; R2R3 = CHMe) were also prepared Z-I had the same antibacterial activity as E-I.
IT 51-35-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(Schotten-Baumann reaction of, with methoxybenzoyl chlorides)
RN 51-35-4 CAPLUS
CN L-Proline, 4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 84348-37-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with ethyltriphenylphosphonium bromide)
RN 84348-37-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 4-oxo-, 1-(1,1-dimethylethyl) ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).